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=> d his

     (FILE 'HOME' ENTERED AT 09:26:13 ON 16 FEB 2011)

     FILE 'REGISTRY' ENTERED AT 09:26:24 ON 16 FEB 2011
L1             STRUCTURE UPLOADED
L2          15 S L1
L3         274 S L1 SSS FUL
L4         173 S L3 AND NRS=1
L5         101 S L3 NOT L4

     FILE 'CAPLUS' ENTERED AT 09:30:20 ON 16 FEB 2011
L6         485 S L4
L7         ANALYZE L6 1- RN HIT :     156 TERMS

     FILE 'REGISTRY' ENTERED AT 09:31:06 ON 16 FEB 2011
L8           1 S 29331-92-8/RN
L9           1 S 58955-93-4/RN
L10          1 S 236395-14-5/RN
L11          1 S 35079-97-1/RN
L12       1100 S 104746?/RN
L13          1 S 4698-11-7/RN
L14          1 S 366012-69-3/RN
L15          1 S 28721-09-7/RN
L16          1 S 186694-11-1/RN
L17          3 S L12 AND L6
L18        164 S L4 NOT (L8 OR L9 OR L10 OR L11 OR L15 OR L16 OR L17)

     FILE 'CAPLUS' ENTERED AT 09:36:36 ON 16 FEB 2011
L19        158 S L18

     FILE 'REGISTRY' ENTERED AT 09:36:50 ON 16 FEB 2011
L20         18 S L18 AND 1-2/BR
L21        458 S C16 H12 BR N O2/MF
L22          1 S L20 AND L21
L23            STRUCTURE UPLOADED
L24          0 S L23  SUB=L3 FUL
L25        167 S L4 AND 6-6-7/SZ
L26       2896 S C15 H12 N2 O2/MF
L27        458 S C16 H12 BR N O2/MF
L28        603 S C17 H14 BR N O2/MF
L29       1827 S C15 H13 N O/MF
L30       2554 S C16 H15 N O/MF
L31       3573 S C15 H14 N2 O2/MF
L32          1 S L25 AND L26
L33          1 S L25 AND L27
L34          1 S L25 AND L28
L35          1 S L25 AND L29
L36          1 S L25 AND L30

     FILE 'CAPLUS' ENTERED AT 09:54:57 ON 16 FEB 2011
L37         29 S L32
L38          1 S L33
L39          1 S L34
L40         48 S L35
L41          8 S L36
L42         80 S L37 OR L38 OR L39 OR L40 OR L41
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L43          78 S L19 NOT L42
L44          58 S L42 NOT (2011/SO OR 2010/SO OR 2009/SO OR 2008/SO OR 2007/SO
L45          73 S L43 NOT (2011/SO OR 2010/SO OR 2009/SO OR 2008/SO OR 2007/SO

     FILE 'REGISTRY' ENTERED AT 09:57:26 ON 16 FEB 2011
L46           0 S "10,11-DIHYDRO-10-OXO-5H-DIBENZ(B,F)AZEPINE-5-CARBOXZMIDE"/CN
L47           0 S "10,11-DIHYDRO-10-OXO-5H-DIBENZ(B,F)AZEPINE-5-CARBOXZMIDE"
L48           0 S "DIBENZ(B,F)AZEPINE"/CNS AND CARBOXZMIDE/CNS
L49         796 S "DIBENZ(B,F)AZEPINE"/CNS AND CARBOXAMIDE/CNS
L50          16 S 10-OXO/CNS AND L49
L51           5 S L50 AND C15 H12 N2 O2/MF
L52          11 S L50 NOT L51
L53           5 S 28721-07-5/CRN
L54          10 S L51 OR L53
L55           6 S L52 NOT L54
L56           1 S L55 AND C15 H12 N2 O3/MF
L57          11 S L54 OR L56

     FILE 'CAPLUS' ENTERED AT 10:03:27 ON 16 FEB 2011
L58        1050 S L57
L59          51 S L35 OR L36 OR L33 OR L34
L60          23 S L58 AND L59
L61          51 S L59 OR L60
L62          46 S L61 NOT (2011/SO OR 2010/SO OR 2009/SO OR 2008/SO OR 2007/SO

=> d l23
L23 HAS NO ANSWERS
L23             STR
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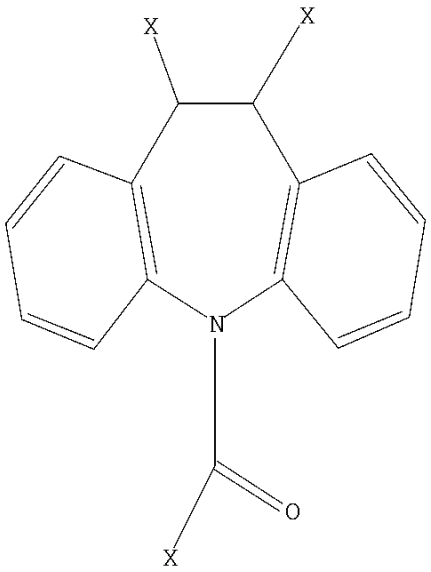


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G1 H
G2 O,X
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Structure attributes must be viewed using STN Express query preparation.

L62 ANSWER 1 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2009:1433181 CAPLUS
DOCUMENT NUMBER: 151:550447
TITLE: An improved process for the preparation of oxcarbazepine
INVENTOR(S): Karusala, Nageswara Rao; Tummalapally, Uma Sankara Sastry; Talatala, Appi Reddy; Datta, Debashish
PATENT ASSIGNEE(S): Matrix Laboratories Ltd., India
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2009139001 | A2 | 20091119 | WO 2009-IN272 | 20090506 |
| WO 2009139001 | A3 | 20110127 | | |

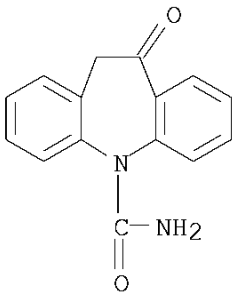
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: IN 2008-CH1135 A 20080508
IN 2008-CH1678 A 20080710
OTHER SOURCE(S): CASREACT 151:550447

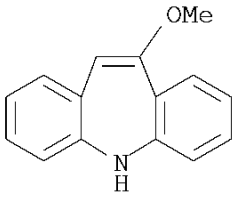
AB The present invention relates to an improved process for the preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine) by reacting 10-methoxy-5H-dibenz[b,f]azepine (10-methoxyiminostilbene) with alkali metal cyanate in presence of α-hydroxy acids followed by hydrolysis of the resulting intermediate. Thus, refluxing a mixture of 10-methoxyiminostilbene with sodium cyanate and mandelic acid in toluene afforded 10-methoxycarbamazepine which was subsequently treating with concentrate HCl to provide oxcarbazepine. This invention also relates to the process for the preparation of carbamazepine from iminostilbene. Further the present invention is directed to the novel crystalline form of 10-methoxycarbamazepine.

IT 28721-07-5P, Oxcarbazepine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(improved process for the preparation of oxcarbazepine from 10-methoxyiminostilbene)

RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(improved process for the preparation of oxcarbazepine from 10-methoxyiminostilbene)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



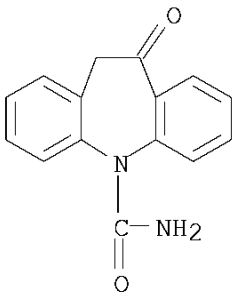
L62 ANSWER 2 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2009:800495 CAPLUS
DOCUMENT NUMBER: 151:56740
TITLE: Preparation of iminostilbene derivatives
INVENTOR(S): Milanese, Alberto
PATENT ASSIGNEE(S): Milanese Alberto, Italy
SOURCE: Ital. Appl., 18 pp.
CODEN: ITXXCZ
DOCUMENT TYPE: Patent
LANGUAGE: Italian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| IT 2004RM0260 | A1 | 20040826 | IT 2004-RM260 | 20040526 |
| IT 1351663 | B1 | 20090114 | | |
| PRIORITY APPLN. INFO.: | | | IT 2004-RM260 | 20040526 |

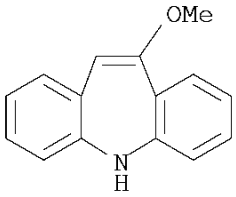
OTHER SOURCE(S): CASREACT 151:56740

AB Treatment of 10-methoxyiminostilbene with triphosgene in toluene containing triethylamine afforded the N-chlorocarbonyl derivative, which underwent ammonolysis and subsequent hydrolysis to yield oxcarbazepine.

IT 28721-07-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of iminostilbene derivs.)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)




IT 4698-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of iminostilbene derivs.)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)


OMe
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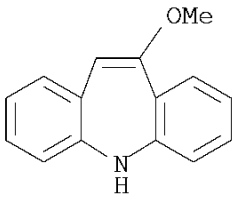
L62 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2009:565201 CAPLUS
DOCUMENT NUMBER: 150:563666
TITLE: Method for synthesizing 10-methoxy-5H-dibenzo[b,f]azepine
INVENTOR(S): Chen, Shiming; Xu, Xuwei
PATENT ASSIGNEE(S): Zhejiang Jiuzhou Pharmaceutical Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 7pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 101423496 | A | 20090506 | CN 2008-10203842 | 20081202 |

PRIORITY APPLN. INFO.: CN 2008-10203842 20081202
OTHER SOURCE(S): CASREACT 150:563666

AB The title method comprises: carrying out a reaction of 10,11-dibromo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carbonyl chloride (I) and alkali metal hydroxide or alkali metal alkoxide in mixed solvent to obtain 10-methoxy-5H-dibenzo[b,f]azepine (II). The mixed solvent contains methanol and aromatic solvent. The alkali metal hydroxide is selected from potassium hydroxide, and the alkali metal alkoxide is selected from potassium methoxide. In detail, the method comprises: adding potassium hydroxide or potassium methoxide in methanol, heating to reflux, adding aromatic solvent and I, heating to reflux for 6-8 h, adding water, stirring, washing with water, standing, removing water layer, vacuum-evaporating, cooling, filtering, and drying to obtain II. The method is simple, has high yield, and is suitable for large-scale production

IT 4698-11-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 10-methoxydibenzoazepine)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



L62 ANSWER 4 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2008:927510 CAPLUS
DOCUMENT NUMBER: 150:494761
TITLE: More efficient synthesis of alkoxybenzazepines
INVENTOR(S): Ambady, Rajagopalan; Chaphekar, Sachin
PATENT ASSIGNEE(S): Atul Ltd., India
SOURCE: Indian Pat. Appl., 7pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| IN 2006MU01460 | A | 20080725 | IN 2006-MU1460 | 20060914 |

PRIORITY APPLN. INFO.: IN 2006-MU1460 20060914
OTHER SOURCE(S): CASREACT 150:494761
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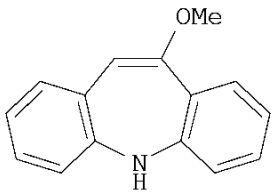
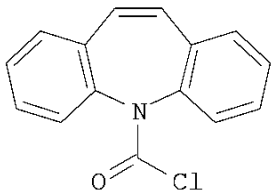


I

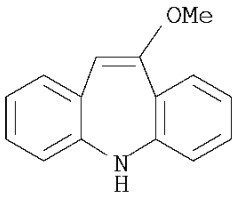


II

AB This invention relates to the preparation of 10-methoxy-5H-dibenz[b,f]azepine (I) which is a key intermediate for preparation of the anticonvulsant oxcarbazepine by two step reaction starting from iminostilbene carbonyl chloride (II) instead of iminostilbene. The reaction involves bromination of II followed by methoxylation by sodium methoxide in methanol. This process allows to avoid one step of protection of secondary amino group via acetylation.

IT 4698-11-7P, 10-Methoxy-5H-dibenz[b,f]azepine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(more efficient synthesis of alkoxybenzazepines)

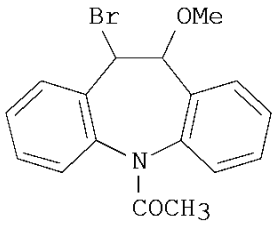
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



L62 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2007:1420686 CAPLUS
DOCUMENT NUMBER: 148:54911
TITLE: Process for producing oxcarbazepine via an 11-alkoxy-10-halo-dihydroiminostilbene intermediate
INVENTOR(S): Gupta, Nitin; Singh, Harnam; Kumar, Pramod; Dubey, Sushil Kumar
PATENT ASSIGNEE(S): Jubilant Organosys Limited, India
SOURCE: PCT Int. Appl., 24pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2007141798 | A1 | 20071213 | WO 2006-IN190 | 20060607 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| IN 2008DN10040 | A | 20090327 | IN 2008-DN10040 | 20081202 |
| PRIORITY APPLN. INFO.: | | | WO 2006-IN190 W | 20060607 |
| OTHER SOURCE(S): | CASREACT 148:54911 | | | |

GI

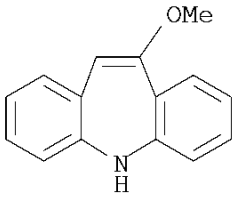


I

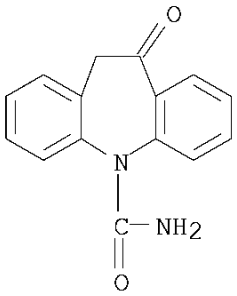
AB The invention relates to a process for the production of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine), which is used in therapy as an anticonvulsant. For instance, protection of iminostilbene followed by halogenation in presence of methanol gave the intermediate compound (I). Dehydrohalogenation of the compound I followed by deprotection, carboxamidation, and hydrolysis gave the oxcarbazepine.

IT 4698-11-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxcarbazepine as anticonvulsant)

RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)




IT 28721-07-5P, Oxcarbazepine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxcarbazepine as anticonvulsant)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)

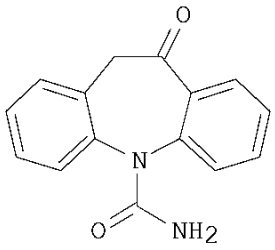



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2007:366651 CAPLUS
DOCUMENT NUMBER: 147:522114
TITLE: A process for preparation of oxcarbazepine
INVENTOR(S): Chandrashekar, Parenky
PATENT ASSIGNEE(S): Amoli Organics Pvt Ltd., India
SOURCE: Indian Pat. Appl., 10pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| IN 2004MU00663 | A | 20060505 | IN 2004-MU663 | 20040618 |
| IN 223441 | A1 | 20090206 | | |
| PRIORITY APPLN. INFO.: | | | IN 2004-MU663 | 20040618 |

OTHER SOURCE(S): CASREACT 147:522114
GI



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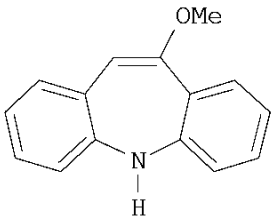
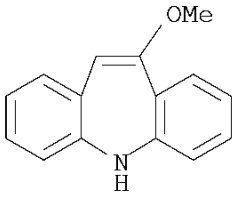
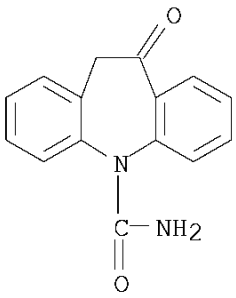


II

AB The invention relates to a process for the preparation of oxcarbazepine (I) from 10-methoxyiminostilbene (II). Oxcarbazepine is an anticonvulsant agent used for the treatment of Parkinson's disease and AIDS-related neural disorders. The process of the invention gives good quality and yield of oxcarbazepine, avoiding the use of hazardous materials, and higher temps., making the process more com. attractive. The target compound may be prepared according to the process of the invention as shown by the following example. Addition of II to sodium cyanate in the presence of chloroacetic acid in chloroform at 10-15 °C for 6 h gave the N-carbamoyl derivative of II, which underwent cleavage with p-toluenesulfonic acid at 75-80 °C in toluene to give oxcarbazepine (I) in 66% yield.
IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; process for preparation of oxcarbazepine)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



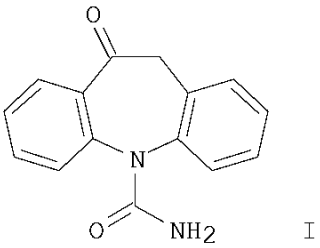

IT 28721-07-5P, Oxcarbazepine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(target compound; process for preparation of oxcarbazepine)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



L62 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2007:249527 CAPLUS
DOCUMENT NUMBER: 147:427241
TITLE: A process for the purification of oxcarbazepine
INVENTOR(S): Venkataraman, Sundaram; Eswaraiah, Saja; Reddy, Koppera Ravindar; Satyanarayana, Revu
PATENT ASSIGNEE(S): Reddys Laboratories Limited, India
SOURCE: Indian Pat. Appl., 8pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

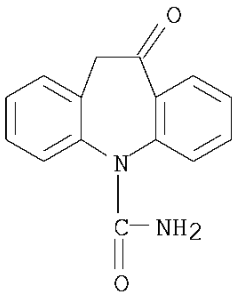
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| IN 2004CH00142 | A | 20051202 | IN 2004-CH142 | 20040223 |
| PRIORITY APPLN. INFO.: | | | IN 2004-CH142 | 20040223 |

OTHER SOURCE(S): CASREACT 147:427241
GI

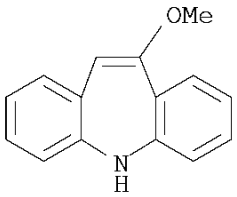


I

AB Accordingly, the invention provides a process for the purification of oxcarbazepine. Oxacarbazepine dissolved in aqueous basic solution extracting with organic solvents and acidifying the aqueous solution followed by filtration of the separated solid by conventional methods to obtain pure Oxacarbazepine. Oxacarbazepine can be represented by formula (I).
IT 28721-07-5P, Oxacarbazepine
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(a process for the purification of oxcarbazepine)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



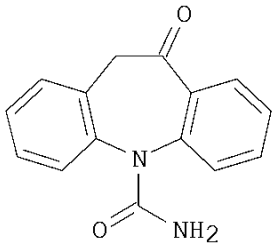
IT 4698-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(a process for the purification of oxcarbazepine)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



L62 ANSWER 8 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:1333900 CAPLUS
DOCUMENT NUMBER: 144:69748
TITLE: Process for the preparation of oxcarbazepine and related intermediates
INVENTOR(S): Che, Daqing; Corelli-Rennie, Nadia; Guntoori, Bhaskar Reddy; Faught, Jodi
PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 6 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20050282797 | A1 | 20051222 | US 2005-153370 | 20050616 |
| US 7125987 | B2 | 20061024 | | |
| CA 2471666 | A1 | 20051218 | CA 2004-2471666 | 20040618 |
| CA 2471666 | C | 20091013 | | |
| WO 2005122671 | A2 | 20051229 | WO 2005-CA932 | 20050616 |
| WO 2005122671 | A3 | 20071108 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA | | | | |
| EP 1765786 | A2 | 20070328 | EP 2005-759468 | 20050616 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU | | | | |

PRIORITY APPLN. INFO.: CA 2004-2471666 A 20040618
WO 2005-CA932 W 20050616
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 144:69748
GI



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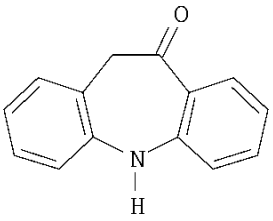
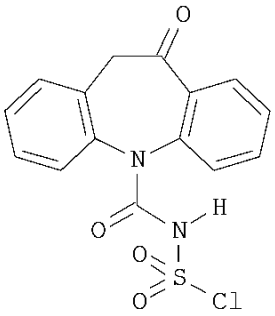


II



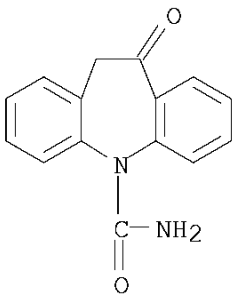
III

AB A process for preparing oxcarbazepine I, a more tolerable alternative to the popular anticonvulsant drug carbamazepine, comprising: (a) reacting oximinostilbene II with chlorosulfonyl isocyanate in an inert organic solvent and isolating compound III, (b) hydrolyzing III to form crude oxcarbazepine I, and (c) purifying oxcarbazepine.

IT 28721-07-5P, Oxcarbazepine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of oxcarbazepine and related intermediates)

RN 28721-07-5 CAPLUS

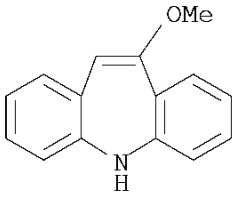
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



IT 4698-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the preparation of oxcarbazepine and related intermediates)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)




| | | |
|---|---|---|
| OS.CITING REF COUNT: | 2 | THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS) |
| REFERENCE COUNT: | 10 | THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

L62 ANSWER 9 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:1257994 CAPLUS
DOCUMENT NUMBER: 144:22826
TITLE: Process for the preparation of oxcarbazepine
INVENTOR(S): Milanese, Alberto
PATENT ASSIGNEE(S): Italy
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1600443 | A1 | 20051130 | EP 2004-425379 | 20040526 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| WO 2005118550 | A1 | 20051215 | WO 2005-EP3890 | 20050413 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1748988 | A1 | 20070207 | EP 2005-733290 | 20050413 |
| EP 1748988 | B1 | 20101110 | | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| AT 487701 | T | 20101115 | AT 2005-733290 | 20050413 |

PRIORITY APPLN. INFO.: EP 2004-425379 A 20040526
WO 2005-EP3890 W 20050413

OTHER SOURCE(S): CASREACT 144:22826
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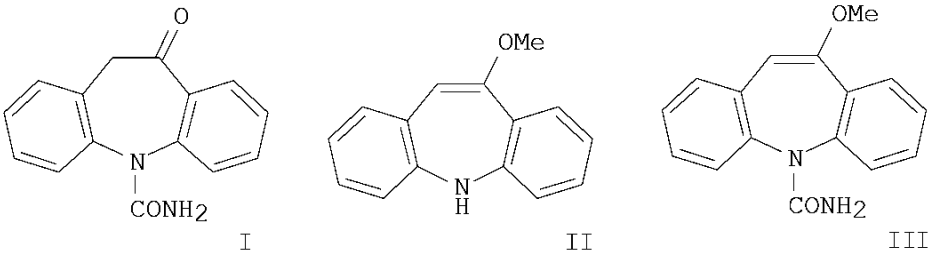
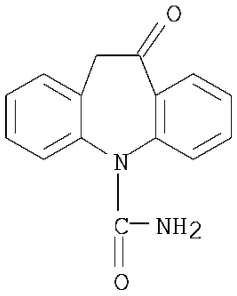



I II III

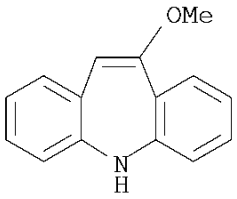
AB The preparation of oxcarbazepine (I) from 10-methoxyiminostilbene (II) is

claimed. For example, 66.9 g of II, in presence of 34.92 g of Et3N in 800 mL of toluene, is gradually reacted with 32.67 g of triphosgene in 300 mL of toluene for 6 h at temperature of 10-15°. Next, 200 mL of 30% aqueous NH3 is added to the reaction mixture at room temperature, and after some hours, 69.0 g of 10-methoxy-N-aminocarbonyliminostilbene (III) is obtained with purity > 95%. III is hydrolyzed by refluxing in 100 mL of 10% H2SO4, and after workup, 57.0 g of I is obtained.

IT 28721-07-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of oxcarbazepine from methoxyiminostilbene in three steps)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



IT 4698-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxcarbazepine from methoxyiminostilbene in three steps)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

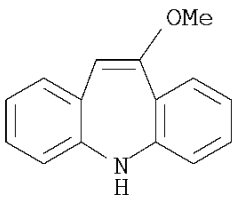


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

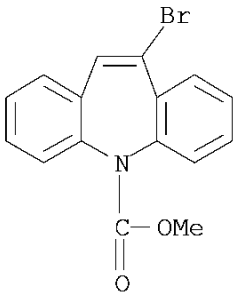
L62 ANSWER 10 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:1123708 CAPLUS
DOCUMENT NUMBER: 143:386937
TITLE: Process for preparation of 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide
INVENTOR(S): Muthukumaran, Mandakini; Natarajan, Muthukumaran; Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005096709 | A2 | 20051020 | WO 2005-IN77 | 20050310 |
| WO 2005096709 | A3 | 20060427 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| IN 2004MU00304 | A | 20061027 | IN 2004-MU304 | 20040311 |
| US 20080269480 | A1 | 20081030 | US 2008-598623 | 20080505 |
| PRIORITY APPLN. INFO.: | | | IN 2004-MU304 A | 20040311 |
| | | | WO 2005-IN77 W | 20050310 |

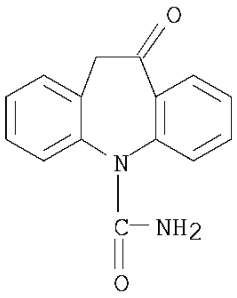
OTHER SOURCE(S): CASREACT 143:386937
AB This invention pertains to a method for producing 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide which comprises bromination, dehydrobromination, and esterification of dibenz[b,f]azepine-5-carbonyl chloride.
IT 4698-11-7P 866874-00-2P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



RN 866874-00-2 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, 10-bromo-, methyl ester (CA INDEX NAME)




IT 28721-07-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)




OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 11 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:1075777 CAPLUS
DOCUMENT NUMBER: 143:367224
TITLE: Process for preparing oxcarbazepine via chlorocarbonylation with triphosgene
INVENTOR(S): Banfi, Aldo; Bollini, Deborah; Serra, Maurizio; Di Lernia, Gianluca
PATENT ASSIGNEE(S): Clariant International Ltd., Switz.
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005092862 | A1 | 20051006 | WO 2005-IB452 | 20050221 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| IT 2004MI0452 | A1 | 20040609 | IT 2004-MI452 | 20040309 |
| IT 1355200 | B1 | 20090220 | | |
| EP 1758867 | A1 | 20070307 | EP 2005-708576 | 20050221 |
| EP 1758867 | B1 | 20100929 | | |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| JP 2007528385 | T | 20071011 | JP 2007-502423 | 20050221 |
| AT 482935 | T | 20101015 | AT 2005-708576 | 20050221 |
| IL 175620 | A | 20101031 | IL 2005-175620 | 20050221 |
| US 20070149507 | A1 | 20070628 | US 2006-580145 | 20060518 |
| US 7858779 | B2 | 20101228 | | |
| KR 2007031280 | A | 20070319 | KR 2006-7018221 | 20060907 |

PRIORITY APPLN. INFO.: IT 2004-MI452 A 20040309
WO 2005-IB452 W 20050221
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:367224
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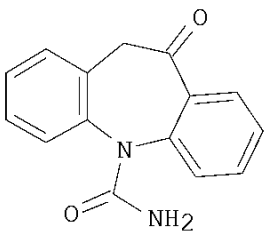
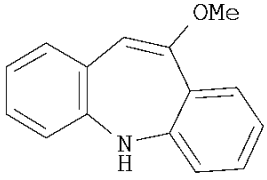


I



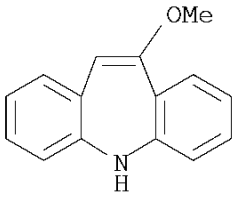
II

AB Process for preparing oxcarbazepine (I) via chlorocarbonylation of 10-methoxydibenzazepine precursor II with triphosgene as the chlorocarbonylating agent. Subsequent ammonolysis and final hydrolysis gave oxcarbazepine.

IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparing oxcarbazepine via chlorocarbonylation with triphosgene)

RN 4698-11-7 CAPLUS

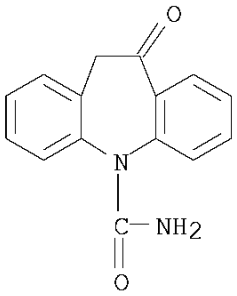
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)




IT 28721-07-5P, Oxcarbazepine
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for preparing oxcarbazepine via chlorocarbonylation with triphosgene)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



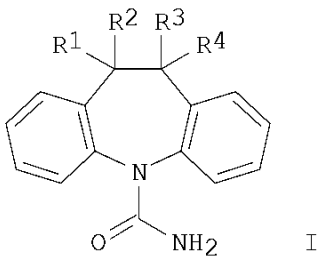

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 12 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:1004404 CAPLUS
DOCUMENT NUMBER: 143:306203
TITLE: Preparation of 5H-dibenz[b,f]azepinecarboxamides
INVENTOR(S): Sivakumar, Bobba Venkata; Bhirud, Shekhar Bhaskar; Batchu, Chandrasekhar; Kale, Sanjay Anantha
PATENT ASSIGNEE(S): Glenmark Generics Ltd., India
SOURCE: U.S. Pat. Appl. Publ., 9 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

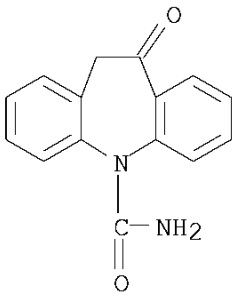
| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 20050203297 | A1 | 20050915 | US 2005-77723 | | 20050311 |
| US 7459553 | B2 | 20081202 | | | |
| IN 2004MU00314 | A | 20060728 | IN 2004-MU314 | | 20040312 |
| PRIORITY APPLN. INFO.: | | | US 2004-552146P | P | 20040311 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:306203; MARPAT 143:306203
GI

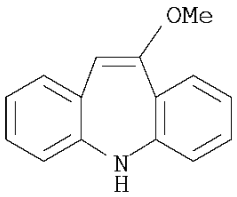


I

AB The title compds. I [R1, R2, R3, R4 = H, halo, NO2, cyano, CO2H, COR, OCOR, OR, NR2, CONR2, CO2R, R = C1-C10-alkyl, C3-C10-cycloalkyl, C2-C10-alkenyl, C5-C10-cycloalkenyl, C2-C10-alkynyl, C6-C20 aryl; R2 = bond] were prepared For example, 10-methoxyiminostilbene reacted with maleic acid/NaOCN followed by HCl to give oxacarbazepine, I (R1R2 = O, R3 = R4 = H).
IT 28721-07-5P, Oxacarbazepine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 5H-dibenz[b,f]azepinecarboxamides)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



IT 4698-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 5H-dibenz[b,f]azepinecarboxamides)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 13 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:638851 CAPLUS
DOCUMENT NUMBER: 143:153307
TITLE: Novel process for preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine) via intermediate, 10-methoxy-5H-dibenz[b,f]azepine-5-carbonyl chloride
INVENTOR(S): Parenky, Chandrashekar; Chaturvedi, Rohit
PATENT ASSIGNEE(S): Amoli Organics Ltd., India
SOURCE: PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

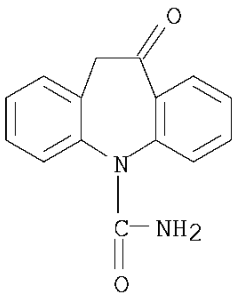
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005066133 | A2 | 20050721 | WO 2004-IN322 | 20041015 |
| WO 2005066133 | A3 | 20051006 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| IN 2003MU01108 | A | 20050610 | IN 2003-MU1108 | 20031020 |
| EP 1678140 | A2 | 20060712 | EP 2004-820974 | 20041015 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| US 20070032647 | A1 | 20070208 | US 2006-576546 | 20060420 |

PRIORITY APPLN. INFO.: IN 2003-MU1108 A 20031020
WO 2004-IN322 W 20041015
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:153307
AB Novel process for preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine), known anticonvulsant drug, comprising the steps: (a) reacting 10-methoxy-5H-dibenz[b,f]azepine with bis(trichloromethyl) carbonate (BTC) and organic base such as aliphatic or aromatic tertiary amines in organic solvent, (b) conversion of the intermediate acid chloride to 10-methoxy-5H-dibenz[b,f]azepine-5-carboxamide using ammonia in organic solvent, (c) treating the intermediate with Lewis acid in an organic solvent at a temperature between 25°C to 80°C, preferably at 50°C to 70°C, and (d) isolating oxcarbazepine. The main objective of the invention was to provide a cost effective, safe and high yielding process for the production of 10-methoxy-5H-dibenz[b,f]azepine-5-carbonyl chloride from 10-methoxy-5H-dibenz[b,f]azepine without the use of phosgene gas.
IT 28721-07-5P, Oxcarbazepine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine) via 10-methoxy-5H-dibenz[b,f]azepine-5-carbonyl chloride)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



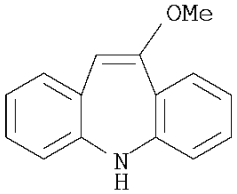
IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine) via 10-methoxy-5H-dibenz[b,f]azepine-5-carbonyl chloride)

RN 4698-11-7 CAPLUS

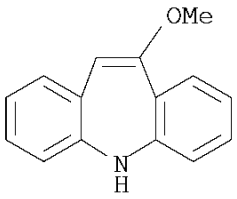
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

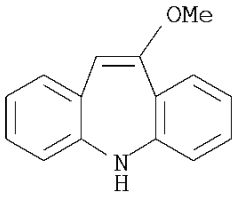
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 14 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:474386 CAPLUS
DOCUMENT NUMBER: 143:413800
TITLE: 10-Methoxydibenz[b,f]azepine-5-carboxamide
AUTHOR(S): Nagaraj, Basavegowda; Yathirajan, Hemmige S.; Narasegowda, Rajenahally S.; Nagaraja, Padmarajaiah; Lynch, Daniel E.
CORPORATE SOURCE: Department of Studies in Chemistry, University of Mysore, Mysore, 570 006, India
SOURCE: Acta Crystallographica, Section E: Structure Reports Online (2005), E61(6), o1760-o1761
CODEN: ACSEBH; ISSN: 1600-5368
URL: http://journals.iucr.org/e/issues/2005/06/00/nc6031/index.html
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB The structure of the title compound, C16H14N2O2, contains a seven-membered ring that adopts a boat conformation, and the overall mol. shape is that of a butterfly. In the packing, the mols. form a convoluted H-bonded polymer via a typical R22(8) graph-set dimer, between carboxamide groups, and an R22(16) graph-set dimer formed through an interaction between the 2nd carboxamide NH group and an adjacent methoxy O atom (in each mol.). The dihedral angle between the benzene rings is 56.09(5)°. Crystallog. data are given.
IT 4698-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of methoxydibenzazepine with sodium cyanate in presence of monochloroacetic acid in toluene)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)




REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:474383 CAPLUS
DOCUMENT NUMBER: 143:413799
TITLE: 10-Methoxy-5H-dibenz[b,f]azepine
AUTHOR(S): Nagaraj, Basavegowda; Yathirajan, Hemmige S.; Lynch, Daniel E.
CORPORATE SOURCE: Department of Studies in Chemistry, University of Mysore, Mysore, 570 006, India
SOURCE: Acta Crystallographica, Section E: Structure Reports Online (2005), E61(6), o1757-o1759
CODEN: ACSEBH; ISSN: 1600-5368
URL: http://journals.iucr.org/e/issues/2005/06/00/bh6006/index.html
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB The structure of the title compound, C15H13NO, has six independent mols. in the asym. unit; in each case, the seven-membered ring adopts a boat conformation and the overall mol. shape is that of a butterfly. All mols. display N-H···C=C close contacts, instead of N-H···O interactions. The intramol. dihedral angles between the benzene rings are within the range 43.7(1)-46.4(1)° for the six mols. Crystallog. data are given.
IT 4698-11-7P, 10-Methoxy-5H-dibenz[b,f]azepine
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystal structure of)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

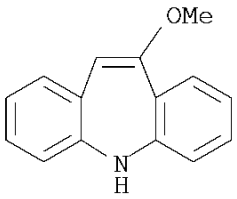



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

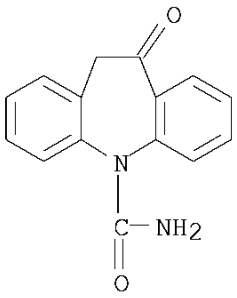
L62 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2005:289573 CAPLUS
DOCUMENT NUMBER: 143:9480
TITLE: A New Industrial Process for Oxcarbazepine
AUTHOR(S): Fuenfschilling, Peter C.; Zaugg, Werner; Beutler, Ulrich; Kaufmann, Daniel; Lohse, Olivier; Mutz, Jean-Paul; Onken, Ulrich; Reber, Jean-Louis; Shenton, David
CORPORATE SOURCE: Chemical and Analytical Development, Novartis Pharma AG, Basel, CH-4002, Switz.
SOURCE: Organic Process Research & Development (2005), 9(3), 272-277
CODEN: OPRDFK; ISSN: 1083-6160
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:9480

AB A novel industrial process for the antiepileptic drug oxcarbazepine 1 has been developed. Unlike the old process, the new process is free from halogenated solvents and can be performed in standard production equipment. It starts from com. available 1,3-dihydro-1-phenyl-2H-indol-2-one 10. In the key step, an electrophilic ring closure reaction of 2-[(methoxycarbonyl)phenylamino]benzeneacetic acid 5 to 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxylic acid Me ester 6 in polyphosphoric acid was applied. For the manufacture of 5, a highly efficient process using a dianion strategy was developed.

IT 4698-11-7P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; new industrial process for preparation of antiepileptic drug oxcarbazepine)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)




IT 28721-07-5P, Oxcarbazepine
RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(new industrial process for preparation of antiepileptic drug oxcarbazepine)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



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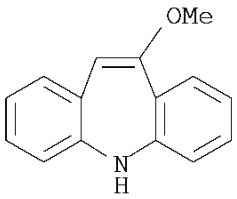
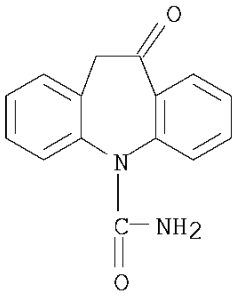
| OS.CITING REF COUNT: | 7 | THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS) |
| REFERENCE COUNT: | 9 | THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

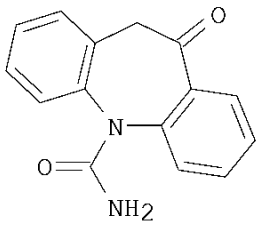
L62 ANSWER 17 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2004:706975 CAPLUS
DOCUMENT NUMBER: 142:280039
TITLE: A new synthesis of oxcarbazepine using a Friedel-Crafts cyclization strategy. [Erratum to document cited in CA141:157022]
AUTHOR(S): Kaufmann, Daniel; Fuenfschilling, Peter C.; Beutler, Ulrich; Hoehn, Pascale; Lohse, Olivier; Zaugg, Werner
CORPORATE SOURCE: Chemical and Analytical Development, Novartis Pharma AG, Basel, CH-4002, Switz.
SOURCE: Tetrahedron Letters (2004), 45(38), 7171
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The corrected version of Section 4 "Completion of the synthesis of 1" is given.
IT 4698-11-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxcarbazepine via enolization of N-(oxycarbonyl)dibenzazepinones followed by hydrolysis, acylation, and hydrolysis (Erratum))
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)




IT 28721-07-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oxcarbazepine via hydrolysis of N-(oxycarbonyl)dibenzazepinones followed by acylation (Erratum))
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



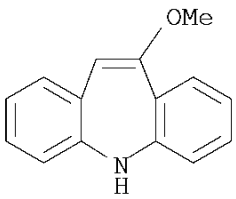
L62 ANSWER 18 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2004:479517 CAPLUS
DOCUMENT NUMBER: 141:157022
TITLE: A new synthesis of oxcarbazepine using a Friedel-Crafts cyclization strategy
AUTHOR(S): Kaufmann, Daniel; Fuenfschilling, Peter C.; Beutler, Ulrich; Hoehn, Pascale; Lohse, Olivier; Zaugg, Werner
CORPORATE SOURCE: Chemical and Analytical Development, Novartis Pharma AG, Basel, CH-4002, Switz.
SOURCE: Tetrahedron Letters (2004), 45(27), 5275-5278
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:157022
GI



I

AB A simple and straightforward process for the large-scale synthesis of oxcarbazepine (I), the active ingredient of Trileptal, a medicine for the treatment of epilepsy, has been developed. Starting from readily available 1,3-dihydro-1-phenyl-2H-indol-2-one, a Friedel-Crafts cyclization strategy provides a direct route to the tricyclic framework of the target mol. Crucial to the success of the strategy was the choice of the proper nitrogen-protecting group.

IT 4698-11-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxcarbazepine via enolization of N-(oxycarbonyl)dibenzazepinones followed by hydrolysis, acylation, and hydrolysis)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

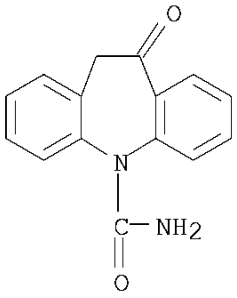


IT 28721-07-5P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of oxcarbazepine via hydrolysis of N-(oxycarbonyl)dibenzazepinones followed by acylation)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



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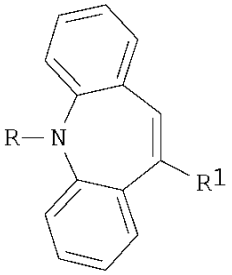
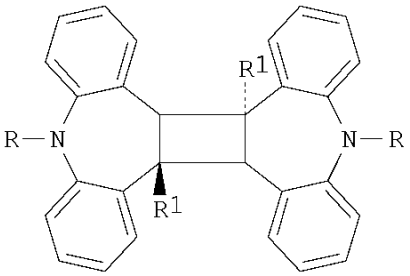
| OS.CITING REF COUNT: | 5 | THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS) |
| REFERENCE COUNT: | 14 | THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

L62 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2004:58537 CAPLUS
DOCUMENT NUMBER: 140:253549
TITLE: Substituent-dependent reactivity in the photodimerization of N-substituted dibenz[b,f]azepines
AUTHOR(S): Querner, Jens; Wolff, Thomas; Goerner, Helmut
CORPORATE SOURCE: Institut fuer Physikalische Chemie und Elektrochemie der Technischen Universitaet Dresden, Dresden, 01062, Germany
SOURCE: Chemistry--A European Journal (2004), 10(1), 283-293
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:253549
GI

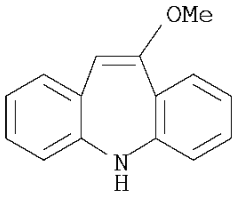



I




II

AB The photoprocesses of a series of N-substituted dibenz[b,f]azepines (iminostilbenes) (I; R = H, Me, ET, CN, CH2Ph, acetyl, naphthalenyl, CONH2, COCl, etc.; R1 = H, OMe, Br, CN, 1-piperidinyl), were studied by absorption and emission spectroscopy, by laser flash photolysis, and by preparative irradiation with NMR anal. In solns., 2π+2π photodimers of N-cyano and N-acyl dibenzazepines II (R = CN, CHO, acetyl, 1-oxopropyl, PhCO, naphthalenylcarbonyl, trifluoroacetyl, COCl, CONH2, 4-benzoylphenyl; R1 = H) are formed via the triplet state upon acetone- or benzophenone-sensitized energy transfer. Triplet-triplet absorption spectra were measured and absorption coeffs. were determined The triplet energy transfer is equally efficient for N-alkyl dibenzazepines, which do not dimerize. Excited states of nπ* character in the latter cases are discussed to rationalize the different reactivities. In spite of negligible intersystem crossing of 21 dibenzazepine derivs., photodimers of N-acyl and N-cyano dibenzazepines are formed upon direct excitation in concentrated solns. (0.01-0.1 mol dm-3) as well as in the solid state. A selective anti-configuration of the photodimers was found throughout.
IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine
RL: PRP (Properties)
(study of triplet energy transfer, intersystem crossing and effect of substituent-dependent reactivity of dibenz[b,f]azepine derivs.)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

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| OS.CITING REF COUNT: | 3 | THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS) |
| REFERENCE COUNT: | 34 | THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

L62 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2003:1006946 CAPLUS
DOCUMENT NUMBER: 140:42043
TITLE: Method of preparing a 5H-dibenz[b,f]azepine-5-carboxamide
INVENTOR(S): Gutman, Daniella; Baidossi, Wael
PATENT ASSIGNEE(S): Taro Pharmaceuticals U.S.A., Inc., USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003106414 | A2 | 20031224 | WO 2003-US18823 | 20030613 |
| WO 2003106414 | A3 | 20040701 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2486560 | A1 | 20031224 | CA 2003-2486560 | 20030613 |
| AU 2003240009 | A1 | 20031231 | AU 2003-240009 | 20030613 |
| US 20040044200 | A1 | 20040304 | US 2003-460946 | 20030613 |
| US 7091339 | B2 | 20060815 | | |
| EP 1513816 | A2 | 20050316 | EP 2003-734588 | 20030613 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| IL 165694 | A | 20091224 | IL 2003-165694 | 20030613 |
| US 20060241292 | A1 | 20061026 | US 2006-427503 | 20060629 |
| US 7723514 | B2 | 20100525 | | |

| | | | |
|---|---|---|---|
| PRIORITY APPLN. INFO.: | US 2002-388811P | P | 20020614 |
| | US 2003-460946 | A1 | 20030613 |
| | WO 2003-US18823 | W | 20030613 |

OTHER SOURCE(S): CASREACT 140:42043; MARPAT 140:42043
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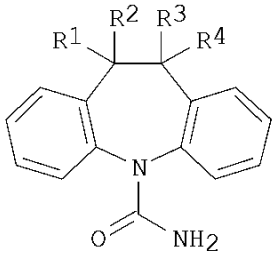


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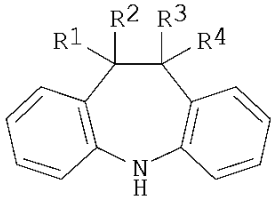


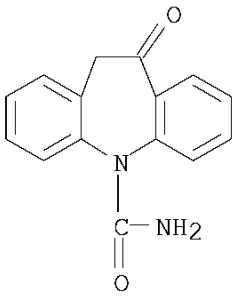

II

AB The present invention provides a method of preparing a 5H-dibenz[b,f]azepine-5-carboxamide I [R1-R4 = H, halo, NO2, CN, etc.; R2 and R3 can together form a bond] comprising reacting a 5H-dibenz[b,f]azepine II with a cyanate salt selected from the group consisting of alkali metal cyanate salts and alkaline-earth metal cyanate salts, and a salt of an amino compound having no N-H bonds, wherein the salt has a Ka (25° C) of at least about 10x10-11. Thus, reacting 10-methoxy-5H-dibenz[b,f]azepine with NaOCN and pyridinium bromide in PhMe followed by hydrolysis of the resulting enol ether with 10% HCl afforded 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine) which is known to control some types of seizures in the treatment of epilepsy (no biol. data given). Preparation of carbamazepine is also described.

IT 28721-07-5P, Oxcarbazepine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(method of preparing a 5H-dibenz[b,f]azepine-5-carboxamide)

RN 28721-07-5 CAPLUS

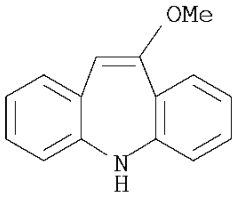
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)




IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(method of preparing a 5H-dibenz[b,f]azepine-5-carboxamide)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



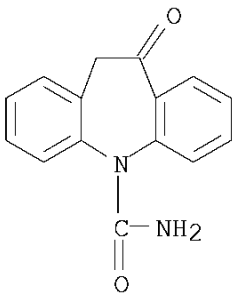

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

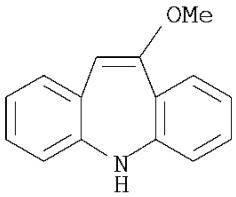
L62 ANSWER 21 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2003:297637 CAPLUS
DOCUMENT NUMBER: 138:304176
TITLE: Process for preparation of 10-methoxycarbamazepine by reaction of 10-methoxyiminostilbene with cyanic acid in the presence of weak acid.
INVENTOR(S): Ansari, Shahid Akhtar; Bhat, Ravindra; Kulkarni, Ashok Krishna
PATENT ASSIGNEE(S): Max India Limited, India
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1302464 | A1 | 20030416 | EP 2002-257007 | 20021009 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CA 2407173 | A1 | 20030409 | CA 2002-2407173 | 20021009 |
| CA 2407173 | C | 20090929 | | |
| US 20030105076 | A1 | 20030605 | US 2002-269084 | 20021009 |
| US 6670472 | B2 | 20031230 | | |

PRIORITY APPLN. INFO.: EP 2001-308631 A 20011009
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 138:304176
AB Title process is claimed. Also disclosed is an improved method for the hydrolysis of 10-methoxycarbamazepine to oxcarbazepine in a biphasic system chosen such that the oxcarbazepine is substantially insol. in both phases, whereas the byproducts or impurities are soluble in ≥1 of the phases. Thus, 10-methoxyiminostilbene, PhCO2H, and NaOCN were refluxed together in PhMe for 12 h. The reaction mixture was filtered, washed with aqueous Na2CO3, and the PhMe layer was heated with 2N HCl at 75-80° for 2 h followed by cooling to give oxcarbazepine of 99.45% purity.
IT 28721-07-5P, Oxcarbazepine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 10-methoxycarbamazepine by reaction of 10-methoxyiminostilbene with cyanic acid in the presence of weak acid)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



IT 4698-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 10-methoxycarbamazepine by reaction of 10-methoxyiminostilbene with cyanic acid in the presence of weak acid)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

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|---|---|---|
| OS.CITING REF COUNT: | 4 | THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS) |
| REFERENCE COUNT: | 2 | THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

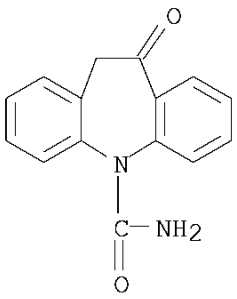
L62 ANSWER 22 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2002:655370 CAPLUS
DOCUMENT NUMBER: 137:154864
TITLE: Process for the preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide
INVENTOR(S): Ferrario, Gianluigi
PATENT ASSIGNEE(S): Inland International Limited, Virgin I. (Brit.)
SOURCE: Ital. Appl., 13 pp.
CODEN: ITXXCZ
DOCUMENT TYPE: Patent
LANGUAGE: Italian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| IT 2000MI0311 | A1 | 20010822 | IT 2000-MI311 | 20000222 |
| IT 1318371 | B1 | 20030825 | | |
| PRIORITY APPLN. INFO.: | | | IT 2000-MI311 | 20000222 |

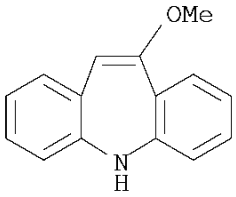
OTHER SOURCE(S): CASREACT 137:154864

AB 10-Oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide was prepared by treatment of 10-methoxy-5H-dibenz[b,f]azepine (I) with an alkali or alkaline-earth metal cyanate in the presence of acid, followed by hydrolysis using an organic acid. Thus, a toluene solution of 22.2 g I was treated with 8.92 g KNCO and 96% H2SO4 and heated at 40-50°C for 24 h. The organic phase was treated with 50% aqueous AcOH at reflux for 8 h to afford 15.4 g the title compound

IT 28721-07-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of oxodihydrodibenz[b,f]azepinecarboxamide)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)




IT 4698-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxodihydrodibenz[b,f]azepinecarboxamide)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)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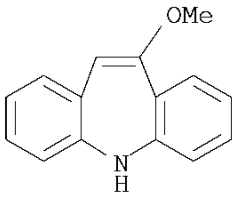
L62 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2002:655362 CAPLUS
DOCUMENT NUMBER: 137:154863
TITLE: Process for the preparation of 5-methoxy-5H-dibenz[b,f]azepine
INVENTOR(S): Finotto, Martino
PATENT ASSIGNEE(S): Italy
SOURCE: Ital. Appl., 7 pp.
CODEN: ITXXCZ
DOCUMENT TYPE: Patent
LANGUAGE: Italian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| IT 99MI2022 | A1 | 20010329 | IT 1999-MI2022 | 19990929 |
| PRIORITY APPLN. INFO.: | | | IT 1999-MI2022 | 19990929 |

OTHER SOURCE(S): CASREACT 137:154863
AB 5-Methoxy-5H-dibenz[b,f]azepine was prepared by treatment of 5-(chlorocarbonyl)-5H-dibenz[b,f]azepine (I) with chlorine or bromine at -30 to +10°C and then with NaOMe or NaOH at 70-100°C. Thus, treatment of 0.1 mol I in CH2Cl2 with 0.11 mol Cl2 for 1 h at 0°C, addition of NaOH/MeOH (2.02 mol in 250 mL), distilling, and heating at reflux for 24 h afforded 92% the title compound
IT 4698-11-7P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of methoxydibenz[b,f]azepine)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



L62 ANSWER 24 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2001:581847 CAPLUS
DOCUMENT NUMBER: 135:166785
TITLE: Preparation of dibenzo[b,f]azepine derivatives
INVENTOR(S): Fuenfschilling, Peter; Kaufmann, Daniel; Lohse, Olivier; Beutler, Ulrich; Zaugg, Werner
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001056992 | A2 | 20010809 | WO 2001-EP1330 | 20010207 |
| WO 2001056992 | A3 | 20020124 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2395601 | A1 | 20010809 | CA 2001-2395601 | 20010207 |
| CA 2395601 | C | 20100105 | | |
| CA 2639210 | A1 | 20010809 | CA 2001-2639210 | 20010207 |
| CA 2724295 | A1 | 20010809 | CA 2001-2724295 | 20010207 |
| BR 2001007922 | A | 20021022 | BR 2001-7922 | 20010207 |
| TR 2002001655 | T2 | 20021121 | TR 2002-1655 | 20010207 |
| EP 1265868 | A2 | 20021218 | EP 2001-915203 | 20010207 |
| EP 1265868 | B1 | 20090408 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| HU 2003000243 | A2 | 20030628 | HU 2003-243 | 20010207 |
| HU 2003000243 | A3 | 20050728 | | |
| JP 2003521536 | T | 20030715 | JP 2001-556842 | 20010207 |
| AU 767724 | B2 | 20031120 | AU 2001-42373 | 20010207 |
| NZ 520329 | A | 20031128 | NZ 2001-520329 | 20010207 |
| CN 1721409 | A | 20060118 | CN 2005-10085302 | 20010207 |
| CN 100455572 | C | 20090128 | | |
| CN 1244563 | C | 20060308 | CN 2001-803530 | 20010207 |
| RU 2303591 | C2 | 20070727 | RU 2002-123334 | 20010207 |
| AT 427938 | T | 20090415 | AT 2001-915203 | 20010207 |
| EP 2067772 | A2 | 20090610 | EP 2009-153375 | 20010207 |
| EP 2067772 | A3 | 20090819 | | |
| R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, RO, SI | | | | |
| PT 1265868 | E | 20090715 | PT 2001-915203 | 20010207 |
| ES 2324900 | T3 | 20090819 | ES 2001-915203 | 20010207 |
| KR 2009102882 | A | 20090930 | KR 2009-7019039 | 20010207 |
| KR 966209 | B1 | 20100625 | | |
| KR 2010018069 | A | 20100216 | KR 2010-7000738 | 20010207 |

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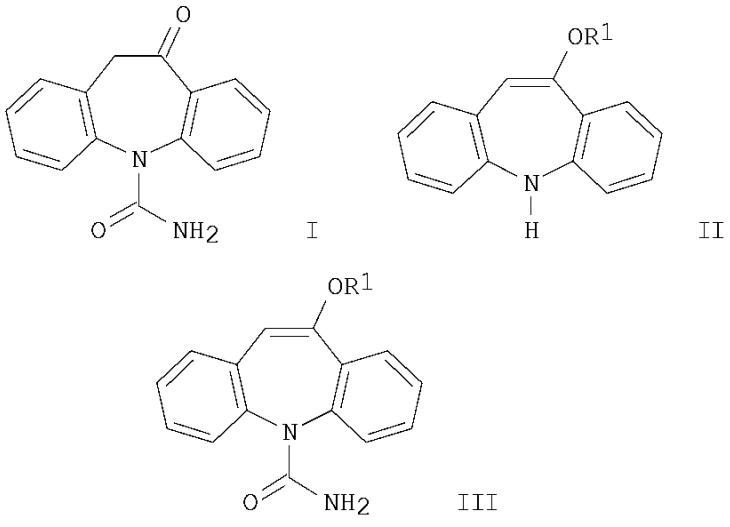
|---|---|---|---|---|---|
| SK 287217 | B6 | 20100308 | SK 2002-1126 | | 20010207 |
| CZ 301572 | B6 | 20100421 | CZ 2002-2676 | | 20010207 |
| IL 150613 | A | 20100517 | IL 2001-150613 | | 20010207 |
| TW 284638 | B | 20070801 | TW 2001-102908 | | 20010209 |
| NO 2002003575 | A | 20020726 | NO 2002-3575 | | 20020726 |
| NO 324949 | B1 | 20080114 | | | |
| US 20030032800 | A1 | 20030213 | US 2002-182980 | | 20020802 |
| US 7112673 | B2 | 20060926 | | | |
| ZA 2002006219 | A | 20030404 | ZA 2002-6219 | | 20020805 |
| IN 224852 | A1 | 20081205 | IN 2002-CN1205 | | 20020806 |
| MX 2002007629 | A | 20021213 | MX 2002-7629 | | 20020807 |
| HK 1052501 | A1 | 20090814 | HK 2003-103369 | | 20030513 |
| PH 1200700298 | A | 20090427 | PH 2007-1200700298 | | 20070731 |
| IN 2007CN03402 | A | 20071116 | IN 2007-CN3402 | | 20070803 |
| KR 2008003016 | A | 20080104 | KR 2007-7029687 | | 20071220 |
| KR 931753 | B1 | 20091214 | | | |
| KR 2008103608 | A | 20081127 | KR 2008-7027467 | | 20081110 |
| PRIORITY APPLN. INFO.: | | | GB 2000-2740 | A | 20000207 |
| | | | CA 2001-2395601 | A3 | 20010207 |
| | | | CA 2001-2639210 | A3 | 20010207 |
| | | | CN 2001-803530 | A3 | 20010207 |
| | | | EP 2001-915203 | A3 | 20010207 |
| | | | KR 2002-7010123 | A3 | 20010207 |
| | | | WO 2001-EP1330 | W | 20010207 |
| | | | KR 2007-7029687 | A3 | 20071220 |
| | | | KR 2008-7027467 | A3 | 20081110 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 135:166785; MARPAT 135:166785
GI



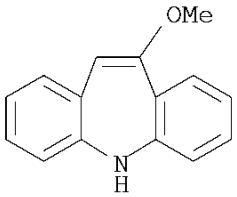

AB The invention relates to new processes for the preparation of the pharmaceutical oxcarbazepine I, as well as novel intermediates prepared by or used for said processes, and the preparation of said intermediates. Thus, carbamoylation of II [R1 = alkyl] (preparation given for R1 = Me) with a metal cyanate in AcOH followed by hydrolysis of III affords the

dibenzo[b,f]azepine I.

IT 4698-11-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dibenzo[b,f]azepine derivs.)

RN 4698-11-7 CAPLUS

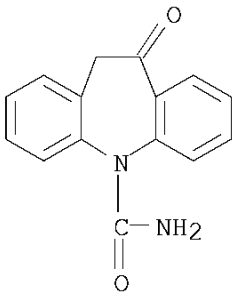
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)




IT 28721-07-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of dibenzo[b,f]azepine derivs.)

RN 28721-07-5 CAPLUS

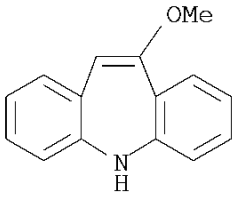
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



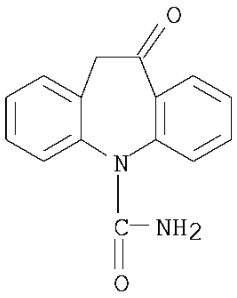

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 25 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2001:47292 CAPLUS
DOCUMENT NUMBER: 134:266193
TITLE: New synthesis of oxcarbazepine via remote metalation of protected N-(ortho-tolyl)anthranilamide derivatives
AUTHOR(S): Lohse, O.; Beutler, U.; Funfschilling, P.; Furet, P.; France, J.; Kaufmann, D.; Penn, G.; Zaugg, W.
CORPORATE SOURCE: Novartis Pharma AG, Chemical and Analytical Development, Basel, CH-4002, Switz.
SOURCE: Tetrahedron Letters (2001), 42(3), 385-389
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:266193
AB Benzyl- and allyl-protected N-tol-2-ylanthranilamides were efficiently prepared by Buchwald-Hartwig C-N cross coupling reactions, followed by protection of the amino group. Under directed remote metalation conditions, protected dibenzoazepinones were obtained in good yields. Deprotection of the amine and conversion to an urea furnished a new and efficient synthesis of the antiepileptic drug Trileptal.
IT 4698-11-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxcarbazepine via remote metalation of protected N-tolylanthranilamides)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)




IT 28721-07-5P, Oxcarbazepine
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oxcarbazepine via remote metalation of protected N-tolylanthranilamides)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



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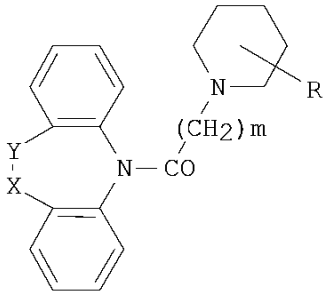
|---|---|---|
| OS.CITING REF COUNT: | 22 | THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS) |
| REFERENCE COUNT: | 21 | THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

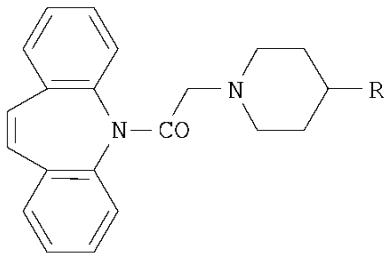
L62 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2001:31490 CAPLUS
DOCUMENT NUMBER: 134:100776
TITLE: Preparation of 5H-dibenz[b,f]azepines for pharmaceutical use as selective M2 muscarinic receptor antagonists
INVENTOR(S): Terni, Patrizia Maria Luisa; Mandelli, Giacomina Roberta; Maiorana, Stefano; Imbimbo, Bruno Pietro
PATENT ASSIGNEE(S): Mediolanum Farmaceutici S.p.A., Italy
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001002386 | A1 | 20010111 | WO 2000-EP6020 | 20000628 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| IT 99MI1452 | A1 | 20010102 | IT 1999-MI1452 | 19990701 |
| PRIORITY APPLN. INFO.: | | | IT 1999-MI1452 A | 19990701 |

OTHER SOURCE(S): MARPAT 134:100776
GI




I



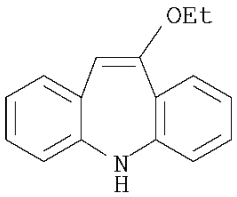

II

AB 5H-dibenzo[b,f]azepines, such as I [R = (CH2)nNR1R2; R1 = H, Ph, benzyl, phenethyl, alkyl, etc.; R2 = Ph, benzyl, phenethyl, alkyl, etc.; XY = CH2-CH2, CH=CH, CH=CR3; R3 = OH, OPh, alkoxy; n, m = 1 - 10], were prepared for use as selective M2 muscarinic receptor antagonists and can be used in the treatment of cardiovascular disorders, particularly bradycardias and bradyarrhythmias and in the treatment of cognitive disorders such as Alzheimer's disease. Thus, 5H-dibenzo[b,f]azepine II [R = (CH2)4NEt2] was prepared via a multistep synthetic sequence starting from 1-benzyl-4-piperidone, tri-Et 4-phosphonocrotonate, and

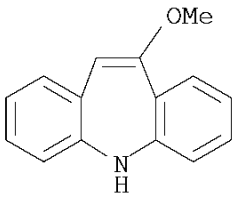
5-(chloroacetyl)-5H-dibenz[b,f]azepine. The prepared 5H-dibenzo[b,f]azepines were tested for muscarinic receptor binding affinity and were found to have selectivity for the M2 receptor.

IT 4614-46-4 4698-11-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 5H-dibenz[b,f]azepines for pharmaceutical use as selective M2 muscarinic receptor antagonists)

RN 4614-46-4 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-ethoxy- (CA INDEX NAME)

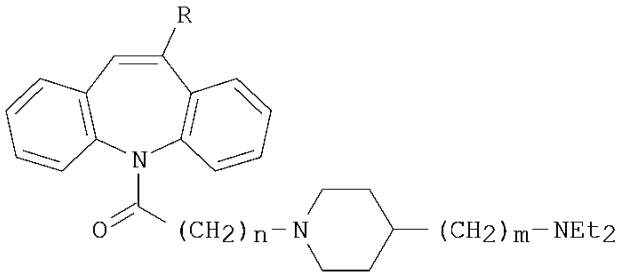



RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)




OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

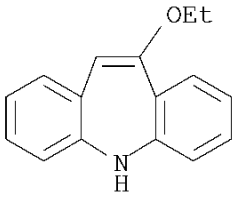
L62 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2000:788179 CAPLUS
DOCUMENT NUMBER: 134:86143
TITLE: Synthesis of new cardioselective M2 muscarinic receptor antagonists
AUTHOR(S): Mandelli, Giacomina R.; Maiorana, Stefano; Terni, Patrizia; Lamperti, Giuseppina; Colibretti, Maria Luisa; Imbimbo, Bruno P.
CORPORATE SOURCE: Research and Development Department, Mediolanum Farmaceutici, Milan, 20143, Italy
SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(11), 1611-1622
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:86143
GI



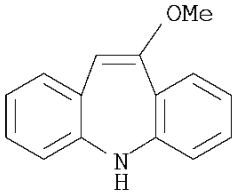

AB A series of 5H-dibenz[b,f]azepines, e.g. I (R = H, MeO, EtO, BuO, PhO; n = 1, 5, 9; m = 2, 4, 7), was prepared and evaluated for binding affinities to muscarinic receptors in vitro. Among them, compound I (R = H; n = 1; m = 4) (II) showed a high affinity for human recombinant M2 receptors (Ki=2.6 nM), a low affinity for M4 receptors (39-fold less than for M2 receptors) and a very low affinity for M1 and M3 receptors (119- and 112-fold less than for M2 receptors, resp.). This high M2 selectivity may be attributed to the olefinic bond of the azepine ring. Functional expts. showed II to be a competitive antagonist with high affinity to the cardiac (pA2=7.1) and low affinity to the intestinal muscarinic receptors (IC50=0.54 μM). In vivo expts. confirmed the in vitro M2 selectivity of II. Acetylcholine-induced bradycardia was dose-dependently antagonized in rats after both i.v. and intraduodenal administration of II. In rats, cholinergic functions mediated by M1 or M3 receptors (salivary secretion, pupil diameter, gastric emptying, intestinal transit time) were not affected by the oral administration of II even at doses as high as 30 times the antibradycardic ED. Furthermore, II had no analgesic activity in mice, indicating poor central nervous system penetration. In dogs, nocturnal bradycardia was dose-dependently inhibited by the oral route with a duration of action of about 24 h. Compound II appears to be a promising cardioselective antimuscarinic agent for the treatment of dysfunctions of the cardiac conduction system such as sinus or nodal bradycardia ("sick-sinus syndrome") and atrioventricular block.
IT 4614-46-4 4698-11-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and biol. evaluation of N-substituted dibenzazepines as cardioselective M2 muscarinic receptor antagonists)

RN 4614-46-4 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-ethoxy- (CA INDEX NAME)




RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

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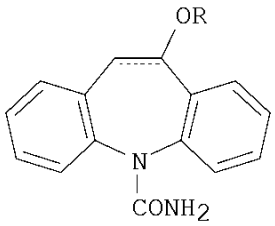
| OS.CITING REF COUNT: | 5 | THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS) |
| REFERENCE COUNT: | 45 | THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

L62 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1997:696744 CAPLUS
DOCUMENT NUMBER: 127:358797
ORIGINAL REFERENCE NO.: 127:70239a,70242a
TITLE: Preparation of alkoxycarbamazepines and analogs as drugs
INVENTOR(S): Milanese, Alberto
PATENT ASSIGNEE(S): Trifarma S.R.L., Italy; Milanese, Alberto
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

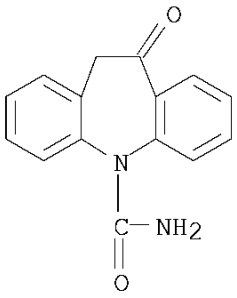
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9738978 | A1 | 19971023 | WO 1997-EP1742 | 19970408 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9726942 | A | 19971107 | AU 1997-26942 | 19970408 |
| PRIORITY APPLN. INFO.: | | | IT 1996-MI709 A | 19960412 |
| | | | WO 1997-EP1742 W | 19970408 |

OTHER SOURCE(S): MARPAT 127:358797
GI

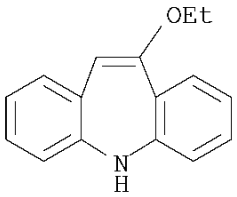



I

AB Title compds. [I; R = (cyclo)alkyl or aryl(alkyl); dashed line = optional addnl. bond] were prepared as analgesics, antidepressants, and anticonvulsants (no data). Thus, N-acetyliminostilbene was brominated and the product treated with NaOEt to give 10-ethoxyiminostilbene which was treated with KOCN/Cl3CCO2H to give 10-ethoxycarbamazepine.
IT 28721-07-5, Oxcarbazepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of alkoxycarbamazepines and analogs as drugs)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



IT 4614-46-4P, 5H-Dibenz[b,f]azepine, 10-ethoxy-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of alkoxycarbamazepines and analogs as drugs)
RN 4614-46-4 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-ethoxy- (CA INDEX NAME)



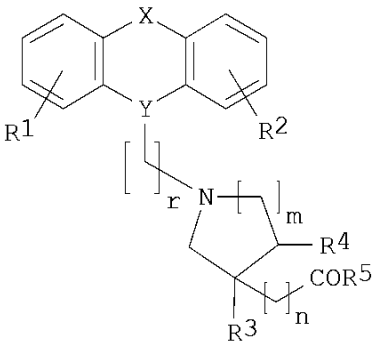
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L62 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1996:728964 CAPLUS
DOCUMENT NUMBER: 126:7999
ORIGINAL REFERENCE NO.: 126:1779a,1782a
TITLE: Preparation of N-substituted 3-piperidinecarboxylic acids for treatment of neurogenic inflammation and insulin resistance in NIDDM or aging
INVENTOR(S): Andersen, Henrik Sune; Andersen, Knud Erik; Hohlweg, Rolf; Madsen, Peter; Joergensen, Tine Krogh; Olsen, Uffe Bang
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9631499 | A1 | 19961010 | WO 1996-DK140 | 19960401 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML | | | | |
| US 5716949 | A | 19980210 | US 1996-625562 | 19960328 |
| CA 2217130 | A1 | 19961010 | CA 1996-2217130 | 19960401 |
| AU 9651004 | A | 19961023 | AU 1996-51004 | 19960401 |
| EP 869954 | A1 | 19981014 | EP 1996-907328 | 19960401 |
| EP 869954 | B1 | 20010919 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 11503128 | T | 19990323 | JP 1996-529869 | 19960401 |
| AT 205843 | T | 20011015 | AT 1996-907328 | 19960401 |
| ZA 9602736 | A | 19961016 | ZA 1996-2736 | 19960404 |
| IN 1996MA00559 | A | 20050304 | IN 1996-MA559 | 19960404 |
| US 5753643 | A | 19980519 | US 1997-862169 | 19970522 |

| | | | |
|---|---|---|---|
| PRIORITY APPLN. INFO.: | DK 1995-406 | A | 19950407 |
| | DK 1995-1003 | A | 19950911 |
| | US 1996-625562 | A3 | 19960328 |
| | WO 1996-DK140 | W | 19960401 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 126:7999
GI

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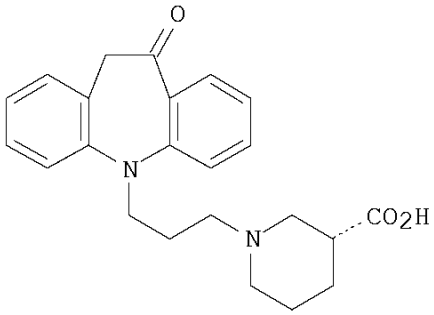


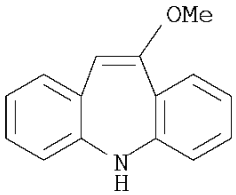

II

AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; Y = N(CH2), CH(CH2), C(:CH) (group in brackets does not participate in the ring system); X = CH2C(O), C(O)CH2, CH2S, etc.; r = 1-3; m = 1-2; n = 1 when m = 1; n = 0 when m = 2; R3, R4 = H, bond (when m = 2); R5 = OH, C1-6 alkoxy] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation, were prepared and formulated. Thus, treatment of 10-methoxy-5H-dibenz[b,f]azepine/THF with BuLi/hexanes followed by addition of Br(CH2)3Cl/THF, reaction of the resulting 1-chloro-3-(10-methoxy-10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)propane with Et (R)-3-piperidinecarboxylate tartrate in the presence of K2CO3, KI in MeC(O)Et and hydrolysis of the ester group afforded (R)-II.HCl which showed 21% inhibition of formalin induced pain response at 0.1 mg/kg.

IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-substituted 3-piperidinecarboxylic acids for treatment of neurogenic inflammation and insulin resistance in NIDDM or aging)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



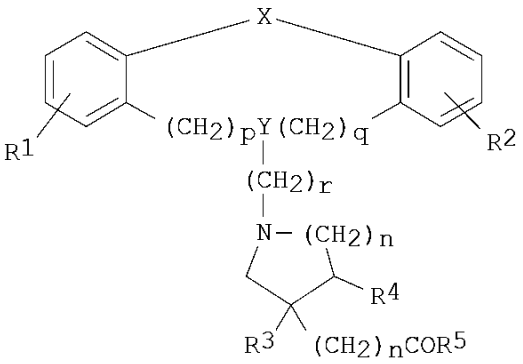

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L62 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1996:713004 CAPLUS
DOCUMENT NUMBER: 126:8146
ORIGINAL REFERENCE NO.: 126:1815a,1818a
TITLE: Novel heterocyclic compounds for treatment of pain and/or inflammation
INVENTOR(S): Joergensen, Tine Krogh; Andersen, Knud Erik; Andersen, Henrik Sune; Hohlweg, Rolf; Madsen, Peter; Olsen, Uffe Bang
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9631497 | A1 | 19961010 | WO 1996-DK138 | 19960401 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML | | | | |
| US 5698551 | A | 19971216 | US 1996-623807 | 19960329 |
| CA 2217206 | A1 | 19961010 | CA 1996-2217206 | 19960401 |
| AU 9651002 | A | 19961023 | AU 1996-51002 | 19960401 |
| EP 820450 | A1 | 19980128 | EP 1996-907326 | 19960401 |
| EP 820450 | B1 | 20010912 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 11503126 | T | 19990323 | JP 1996-529867 | 19960401 |
| AT 205489 | T | 20010915 | AT 1996-907326 | 19960401 |
| ZA 9602738 | A | 19961024 | ZA 1996-2738 | 19960404 |
| IN 1996MA00557 | A | 20050304 | IN 1996-MA557 | 19960404 |
| US 5747481 | A | 19980505 | US 1997-863749 | 19970527 |
| US 5750518 | A | 19980512 | US 1997-863751 | 19970527 |
| US 5780486 | A | 19980714 | US 1997-863257 | 19970527 |
| US 5846968 | A | 19981208 | US 1997-863746 | 19970527 |

PRIORITY APPLN. INFO.:
DK 1995-403 A 19950407
DK 1995-1006 A 19950911
US 1996-623807 A3 19960329
WO 1996-DK138 W 19960401

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 126:8146
GI



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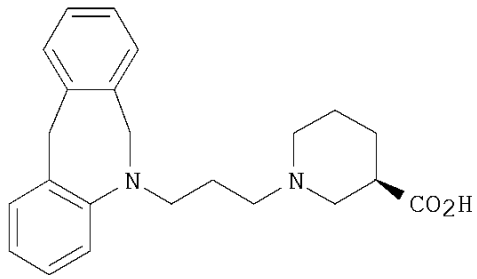


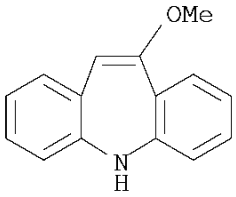
II

AB Compds. I [R1, R2 = H, halo, CF3, OH, alkyl, alkoxy; Y = various trivalent branched radicals: CH2N(CH2), CON(CH2), (CH2)NCO, CH:C(CH2), OCH(CH2), (CH2)CHO, SCH(CH2), etc. (fragments in parentheses not in ring); X = O, S, CR6R7, CH2CH2, CH:CHCH2, COCH2, OCH2, CH2O, SCH2, NR8, NR9, etc.; q, p = 0, 1; r = 1-3; m = 1, 2; n = 1 when m = 1; n = 0 when m = 2; R3, R4 = H, or R3R4 = bond when m = 2; R5 = OH, alkoxy; R6-R9 = H, alkyl] and their pharmaceutically acceptable salts are disclosed. The invention also relates to esters of I, methods of preparation of I, compns. containing the compds., and their use for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation. For example, 6,11-dihydro-5H-dibenz[b,e]azepine was subjected to a sequence of: N-acylation with ClCH2CH2COCl (100%), reduction of carbonyl with LiAlH4, amination of the chloride with (R)-3-piperidinecarboxylic acid Et ester tartrate (42%), and alkaline hydrolysis and acidification of the ester (74%), to give title compound II.HCl. At 0.1 mg/kg in mice, II.HCl gave 36% inhibition of formalin-induced paw pain response.

IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of tricyclic azaheterocyclic carboxylic acids as analgesics and antiinflammatories)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

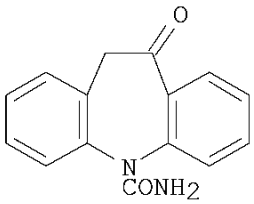



| | | |
|---|---|---|
| OS.CITING REF COUNT: | 7 | THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS) |
| REFERENCE COUNT: | 4 | THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

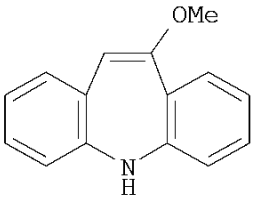
L62 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1996:544073 CAPLUS
DOCUMENT NUMBER: 125:195448
ORIGINAL REFERENCE NO.: 125:36603a,36606a
TITLE: Preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepin-5-carboxamide
INVENTOR(S): Milanese, Alberto
PATENT ASSIGNEE(S): Trifarma, S.R.L., Italy
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9621649 | A1 | 19960718 | WO 1996-EP4 | 19960103 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9643479 | A | 19960731 | AU 1996-43479 | 19960103 |
| EP 847390 | A1 | 19980617 | EP 1996-900104 | 19960103 |
| EP 847390 | B1 | 20000816 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE | | | | |
| AT 195518 | T | 20000915 | AT 1996-900104 | 19960103 |
| ES 2150093 | T3 | 20001116 | ES 1996-900104 | 19960103 |
| PT 847390 | E | 20001130 | PT 1996-900104 | 19960103 |
| US 5808058 | A | 19980915 | US 1996-765481 | 19961224 |
| GR 3034844 | T3 | 20010228 | GR 2000-402532 | 20001114 |

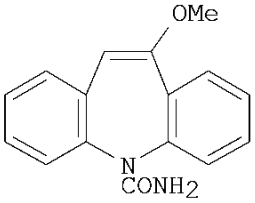
PRIORITY APPLN. INFO.: IT 1995-MI56 A 19950113
WO 1996-EP4 W 19960103
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 125:195448
GI



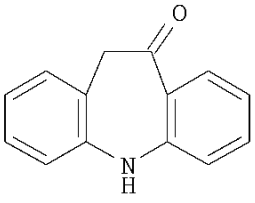

I




II




III



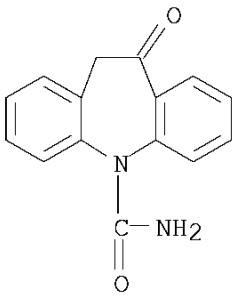

IV

AB The title compound I was prepared by direct carbamoylation of 10-methoxy-5H-dibenz[b,f]azepine II with isocyanic acid generated in situ from cyanates and acids and subsequent acid hydrolysis of the enol ether III. Compound I was also prepared by acid hydrolysis of II followed by carbamoylation of the intermediate IV with ClSO2NCO.

IT 28721-07-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepin-5-carboxamide)

RN 28721-07-5 CAPLUS

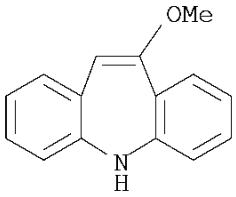
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)




IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepin-5-carboxamide)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

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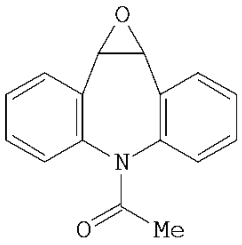
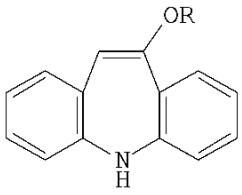
|---|---|---|
| OS.CITING REF COUNT: | 13 | THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS) |
| REFERENCE COUNT: | 4 | THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |

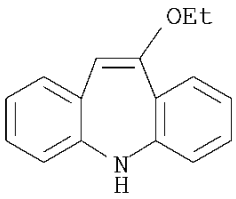
L62 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1994:244640 CAPLUS
DOCUMENT NUMBER: 120:244640
ORIGINAL REFERENCE NO.: 120:43357a,43360a
TITLE: New synthesis of 10-alkoxy-5H-dibenz[b,f]azepines
AUTHOR(S): Haasz, Ferenc; Galamb, Vilmos
CORPORATE SOURCE: Alkaloida Chem. Co. Ltd., Tiszavasvari, H4440, Hung.
SOURCE: Synthetic Communications (1994), 24(5), 683-7
CODEN: SYNCAV; ISSN: 0039-7911
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:244640
GI



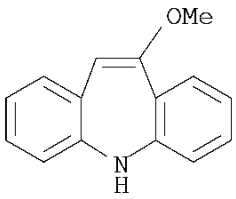
I


II

AB The reaction of 5-acetyl-5H-dibenz[b,f]azepine with sodium-hypochlorite led to the 5-acetyl-10,11-epoxy-10,11-dihydro-5H-dibenz[b,f]azepine I. The lithium iodide induced rearrangement of I gave the ketone which reacted with trialky-orthoformates leading to the vinyl ethers II (R = Me, Et).
IT 4614-46-4P 4698-11-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 4614-46-4 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-ethoxy- (CA INDEX NAME)




RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

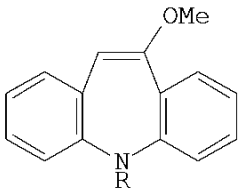



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L62 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1994:164010 CAPLUS
DOCUMENT NUMBER: 120:164010
ORIGINAL REFERENCE NO.: 120:28931a,28934a
TITLE: Improved process for producing 5-carbamoyl-10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine
INVENTOR(S): Haasz, Ferenc; Galamb, Vilmos; Szabo, Jozsef, Mrs.; Garadnay, Sandor
PATENT ASSIGNEE(S): Alkaloida Vegyeszeti Gyar, Hung.
SOURCE: Hung. Teljes, 8 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

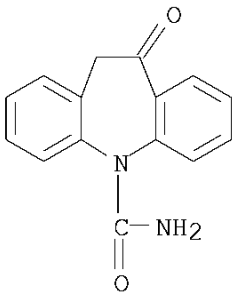
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| HU 63389 | A2 | 19930830 | HU 1991-4116 | 19911227 |
| PRIORITY APPLN. INFO.: | | | HU 1991-4116 | 19911227 |

OTHER SOURCE(S): CASREACT 120:164010
GI

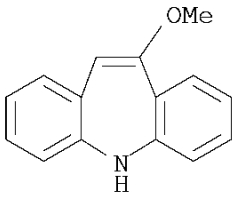


I

AB A procedure for preparation of the title compound (oxcarbazepine) from 10-methoxy-5H-dibenz[b,f]azepine (I; R = H) entailing consecutive chlorocarbonylation, ammonolysis, and hydrolysis is thus characterized: (1) chlorocarbonylation of I (R = H) with 30-70% molar excess diphosgene is carried out in aromatic hydrocarbon, halogenated or alkylated aromatic hydrocarbon solvent at 70-140°; (2) ammonolysis of the resultant I (R = COCl) is carried out without its isolation or purification, and without disruption of the reaction system, with NH3(g) at 60-90°; (3) the resultant carbamoyl derivative I (R = CONH2) is converted by known methods to oxcarbazepine. Thus, when step (1) is carried out in boiling PhMe, step (2) at 70° with NH3 bubbling, I (R = CONH2) is obtained in 58.9% yield. Hydrolysis of I (R = CONH2) in 2 M HCl afforded 73.5% oxcarbazepine.
IT 28721-07-5P, Oxcarbazepine
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oxcarbazepine using diphosgene as chlorocarbonylation agent)
RN 28721-07-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with diphosgene, followed by in situ ammonolysis)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L62 ANSWER 34 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1994:164008 CAPLUS
DOCUMENT NUMBER: 120:164008
ORIGINAL REFERENCE NO.: 120:28931a,28934a
TITLE: New process for producing 10-alkoxy-5H-dibenz[b,f]azepines starting from 5-acetyl-5H-dibenzazepine
INVENTOR(S): Haasz, Ferenc; Galamb, Vilmos; Hosztafi, Sandor; Szabo, Jozsef, Mrs.; Garadnay, Sandor
PATENT ASSIGNEE(S): Alkaloida Vegyeszeti Gyar, Hung.
SOURCE: Hung. Teljes, 11 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| HU 63391 | A2 | 19930830 | HU 1991-4118 | 19911227 |

PRIORITY APPLN. INFO.: HU 1991-4118 19911227
OTHER SOURCE(S): CASREACT 120:164008
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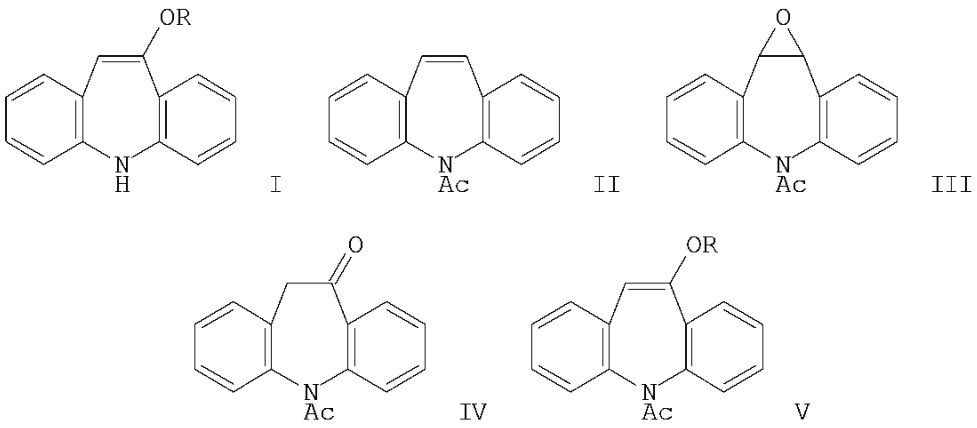
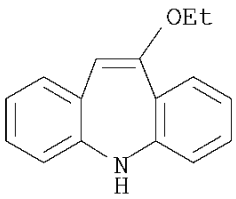
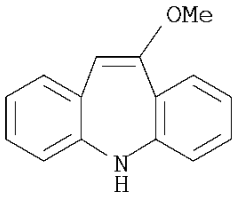



AB A process for preparation of title compds. I (R = Me, Et) entails (1) epoxidn. of 5-acetyl-5H-dibenzazepine II with NaOCl in presence of silica gel, (2) rearrangement of the resulting epoxide III to ketone IV, (3) enolization of IV with orthoformate ester in presence of acid to acetylalkoxydibenzazepine V, and (4) alkaline hydrolysis of V to I. Thus, epoxide III was prepared in 90.1% yield in step (1), and could be submitted to step (2) without further purification Rearrangement of III was accomplished in presence of MgI2.OEt2 in CHCl3, affording 75.1% ketone IV. Enolization of IV in MeOH with (EtO)3CH in presence of HCl/2-propanol afforded 94.4% acetylmethoxydibenzazepine V (R = Me). Alkaline hydrolysis of V (R = Me) with KOH/ethylene glycol afforded 80.3% I (R = Me).
IT 4614-46-4P 4698-11-7P,
10-Methoxy-5H-dibenz[b,f]azepine
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
RN 4614-46-4 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-ethoxy- (CA INDEX NAME)



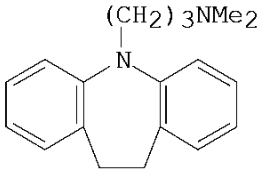

RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



L62 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1981:526247 CAPLUS
DOCUMENT NUMBER: 95:126247
ORIGINAL REFERENCE NO.: 95:21035a,21038a
TITLE: Imipramine derivatives and poly(amino acid) conjugates
INVENTOR(S): Singh, Prithipal; Pirio, Marcel R.
PATENT ASSIGNEE(S): Syva Co., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

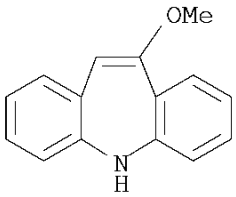
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4275160 | A | 19810623 | US 1979-55419 | 19790706 |
| JP 57035522 | A | 19820226 | JP 1980-109083 | 19800808 |
| JP 02037543 | B | 19900824 | | |

PRIORITY APPLN. INFO.: US 1979-55419 A 19790706
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 95:126247
GI




I

AB The preparation of imipramine derivs. and their conjugation to proteins is disclosed. Thus the process provides for reagents which can be used in sensitive immunoassays or enzyme immunoassays for imipramine and its derivs.
IT 4698-11-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with (dimethylamino)propyl chloride)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

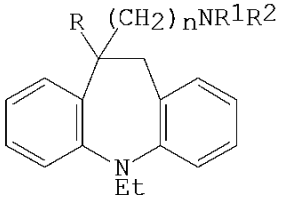



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L62 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1976:180094 CAPLUS
DOCUMENT NUMBER: 84:180094
ORIGINAL REFERENCE NO.: 84:29183a,29186a
TITLE: 5-Alkyl-10-[aminocarbonyl(aminomethyl)(cyano)]-10,11-dihydro-5H-dibenz[b,f]azepine-10-alkanamines
INVENTOR(S): Cusic, John W.; Ellefson, Charles R.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U. S. Publ. Pat. Appl. B, 10 pp.
CODEN: USXXDP
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 520256 | I5 | 19760113 | US 1974-520256 | 19741104 |
| US 3985730 | A | 19761012 | | |
| GB 1531493 | A | 19781108 | GB 1975-45233 | 19751031 |
| SE 7512262 | A | 19760505 | SE 1975-12262 | 19751103 |
| SE 412387 | C | 19800619 | | |
| DE 2549175 | A1 | 19760506 | DE 1975-2549175 | 19751103 |
| FR 2289199 | A1 | 19760528 | FR 1975-33571 | 19751103 |
| FR 2289199 | B1 | 19800530 | | |
| AU 7586259 | A | 19770512 | AU 1975-86259 | 19751103 |
| AU 497525 | B2 | 19781214 | | |
| CA 1064030 | A1 | 19791009 | CA 1975-238931 | 19751103 |
| JP 51070786 | A | 19760618 | JP 1975-132393 | 19751104 |

PRIORITY APPLN. INFO.: US 1974-520256 A 19741104
GI



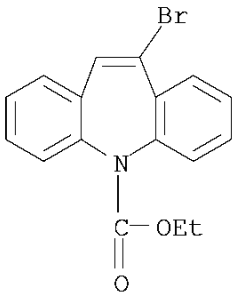

I

AB 5H-dibenz[b,f]azepine was acetylated, brominated, dehydrobrominated, reduced to give 10-bromo-5-ethyl-5H-dibenz[b,f]azepine, which was treated with CuCN and the 5-ethyl-5H-dibenz[b,f]azepine-10-carbonitrile reduced and treated with Cl(CH2)nNRR1 to give I (R = CN; R1 = R2 = Et, Me, Me2CH; R1 = Me, R2 = PhCH2; n = 2,3). I (R = CN) was hydrolyzed to I (R = CONH2), which was reduced to I (R = CH2NH2). At 12.5 mg/kg I (R = CONH2, R1 = Me, R2 = PhCH2, n = 2)-oxalate was antiarrhythmic. At 100 mg/ml I (R = CN, R1 = R2 = Me2CH, n = 2).HCl inhibited Propionibacterium acnes ATCC 69199.

IT 59190-51-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 59190-51-1 CAPLUS

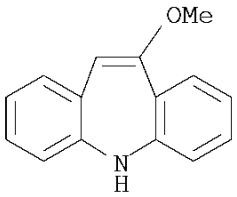
CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, 10-bromo-, ethyl ester (CA INDEX NAME)



L62 ANSWER 37 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1973:431944 CAPLUS
DOCUMENT NUMBER: 79:31944
ORIGINAL REFERENCE NO.: 79:5181a,5184a
TITLE: 5H-Dibenz(b,f)azepine derivatives
INVENTOR(S): Schindler, Walter; Blattner, Hans
PATENT ASSIGNEE(S): Ciba-Geigy Corp.
SOURCE: U. S. Reissue, 14 pp. Reissue of U.S. 3,501,459 (CA 73;35241p).
CODEN: UUXXA2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 27622 | | 19730417 | | 19710923 |
| PRIORITY APPLN. INFO.: | | | CH 1962-4683 | 19620417 |

GI For diagram(s), see printed CA Issue.
AB The dihydrodibenzazepines I [R = Me2N(CH2)3 MeO; R1 = H, Ac, Me] and the dibenzazepines II (R = Me, MeO, H, Me2NCH2, Me2NCH2CH2, Me2NOCCH2CH2, 1-pyrrolidinylmethyl, etc.; R1 = H, Ac) were prepared Thus, 5-methyl-5H-dibenz[b,f]azepin-10(11H)-one was treated with NaH and Me2N(CH2)3Cl to give 5-methyl-11-[3-(dimethylaminopropyl-5H-dibenz[b,f]azepin-10(11H)-one which was hydrogenated in presence of copper chromite/barium carbonate to give I[R = Me2N(CH2)3, R1 = Me].
IT 4698-11-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



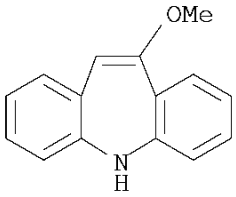
L62 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1971:76346 CAPLUS
DOCUMENT NUMBER: 74:76346
ORIGINAL REFERENCE NO.: 74:12387a,12390a
TITLE: Fungicidal 10-acylamino-10,11-dihydrodibenz[b,f]azepines
INVENTOR(S): Fouche, Jean; Leger, Andre
PATENT ASSIGNEE(S): Rhone-Poulenc S. A.
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 2031236 | A | 19710107 | DE 1970-2031236 | 19700624 |
| DE 2031236 | B2 | 19750925 | | |
| DE 2031236 | C3 | 19760429 | | |
| FR 2045705 | A5 | 19710305 | FR 1969-21176 | 19690624 |
| FR 2086796 | A6 | 19711231 | FR 1970-12838 | 19700409 |
| NL 7008793 | A | 19701229 | NL 1970-8793 | 19700616 |
| ZA 7004238 | A | 19710224 | ZA 1970-4238 | 19700622 |
| GB 1253486 | A | 19711117 | GB 1970-1253486 | 19700622 |
| US 3792042 | A | 19740212 | US 1970-48450 | 19700622 |
| BE 752411 | A | 19701223 | BE 1970-752411 | 19700623 |
| CH 509758 | A | 19710715 | CH 1970-509758 | 19700623 |
| IL 34788 | A | 19730430 | IL 1970-34788 | 19700623 |
| SE 370237 | B | 19741007 | SE 1970-8694 | 19700623 |
| SE 381162 | B | 19751201 | SE 1973-1346 | 19700623 |
| DK 133466 | B | 19760524 | DK 1970-3248 | 19700623 |
| AT 300464 | B | 19720725 | AT 1970-5687 | 19700624 |
| JP 48037036 | B | 19731108 | JP 1971-11358 | 19710305 |
| US 3882235 | A | 19750506 | US 1973-384419 | 19730801 |
| DK 7405171 | A | 19750526 | DK 1974-5171 | 19741001 |
| DK 133453 | B | 19760524 | | |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| FR 1969-21176 | A | 19690624 |
| FR 1970-12838 | A | 19700409 |
| US 1970-48450 | A3 | 19700622 |
| DK 1970-3248 | A | 19700623 |

GI For diagram(s), see printed CA Issue.
AB The title compds. (I), active especially against Erysiphe polyphaga, E. lini, Podosphaera leucotricha, Sphaerotheca pannosa, or Microsphaera berberidis, were prepared by acylation with ClCOR1 of the 10-amino derivs., prepared by known reduction of the oxime, in the presence of a base, e.g. pyridine. Among 17 compds. prepared were I (R and R1 given): Me, Et; H, Et; Me, Pr; Et, Et; H, hexyl; Pr, Et.
IT 4698-11-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)




OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L62 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1970:455985 CAPLUS
DOCUMENT NUMBER: 73:55985
ORIGINAL REFERENCE NO.: 73:9197a,9200a
TITLE: Antidepressant 5H-dibenz[b,f]azepine derivatives
INVENTOR(S): Schindler, Walter; Blattner, Hans
PATENT ASSIGNEE(S): Geigy Chemical Corp.
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

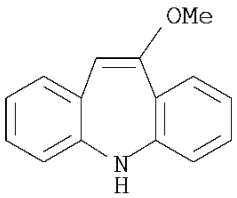
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 3501459 | | 19700317 | US | 19640825 |

GI For diagram(s), see printed CA Issue.

AB Title compds. (I) and the corresponding 10,11-dihydro compds., where R = H or lower alkyl, Z = straight or branched chain C1-6 alkylene radical and R1 is dialkylamino where the alkyl groups have 1-4 C atoms, which may be bound together directly or through O or a lower alkylimino group, were prepared Thus, 22.3 g 5-methyl-5H-dibenz[b,f]-azepin-10-(11H)-one, 250 ml C6H6, and a suspension of 4 g NaNH2 in PhMe is refluxed 3 hr under N, cooled to 50°, 13.5 g Me2-NCH2CH2CH2Cl added, and the whole refluxed 20 hr to yield 5-methyl-11-(3-dimethylaminopropyl)-5H-dibenz[b,f]azepin-10-(11H)-one-HCl, m. 236-8°. This (free base) is hydrogenated over Cu chromite/BaCO3 to yield 5-methyl-10-(3-dimethylaminopropyl)-10,11-dihydro-5H-dibenz[b,f]azepine (II), b0·008 172-6°. II was converted with refluxing HBr into 10-(3-dimethylaminopropyl)-10,11-dihydro-5H-dibenz[b,f]azepine, b0·004 160°; fumarate m. 276-8°. Many other compds. were cited, some with phys. consts.

IT 4698-11-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)




OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L62 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1970:435241 CAPLUS
DOCUMENT NUMBER: 73:35241
ORIGINAL REFERENCE NO.: 73:5841a,5844a
TITLE: Antidepressant 5H-dibenz[b,f]azepine derivatives
INVENTOR(S): Schindler, Walter; Blattner, Hans
PATENT ASSIGNEE(S): Geigy Chemical Corp.
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 3501459 | A | 19700317 | US 1966-564004 | 19660711 |
| FI 43988 | B | 19710430 | FI 1970-3169 | 19701125 |
| US 27622 | E | 19730417 | US 1971-183235 | 19710923 |

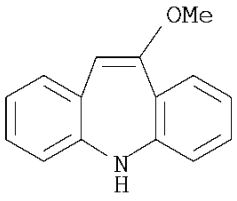
PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| CH 1962-4683 | A | 19620417 |
| US 1962-242719 | A | 19621206 |
| US 1964-399120 | A | 19640825 |
| CH 1965-9799 | A | 19650713 |
| CH 1965-10446 | A | 19650726 |
| US 1966-564004 | A | 19660711 |

GI For diagram(s), see printed CA Issue.

AB Title compds. (I) and their 10,11-dihydro derivs., where R = H or lower alkyl, Z = straight or branched chain alkylene radical of 1-6 C atoms and R1 is a secondary amino group, are prepared Thus, 22.3 g 5-methyl-5H-dibenz[b,f]azepin-10(11H)-one is dissolved in 250 ml C6H6, a suspension of 4 g NaNH2 in PhMe added, the mixture refluxed 3 hr under N, cooled to 50°, 13.5 g Me2N(CH2)3Cl added, and the whole refluxed 20 hr to yield 5-methyl-11-(3-dimethylaminopropyl)-5H-dibenz[b,f[azepin-10(11H)-one-HCl, m. 236-8°. The free base is hydrogenated over Cu chromite/BaCO3 to yield 5-methyl-10-(3-dimethylaminopropyl)-10,11-dihydro-5H-dibenz[b,f]azepine (II), b0·008 172-6°. II was converted with refluxing HBr into 10-(3-dimethylaminopropyl)-10,11-dihydro-5H-dibenz[b,f]azepine, b0·004 160°; fumarate m. 276-8°.

IT 4698-11-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



L62 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1969:57689 CAPLUS
DOCUMENT NUMBER: 70:57689
ORIGINAL REFERENCE NO.: 70:10829a
TITLE: 10-Amino-10,11-dihydrodibenzo[b,f]azepines
INVENTOR(S): Fouche, Jean C. L.; Gueremy, Claude G. A.
PATENT ASSIGNEE(S): Rhone-Poulenc S. A.
SOURCE: S. African, 37 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| ZA 6800345 | | 19680619 | ZA | |
| DE 1695666 | | | DE | |
| FR 1532301 | | | FR | |
| FR 94320 | | | FR | |
| GB 1180164 | | | GB | |
| GB 1180165 | | | GB | |
| US 3622565 | | 19711123 | US | 19680117 |
| PRIORITY APPLN. INFO.: | | | FR | 19670118 |
| | | | FR | 19671109 |

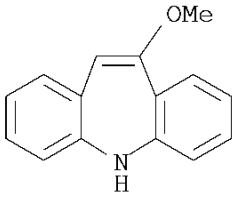
GI For diagram(s), see printed CA Issue.
AB The title compds. (I) (R1, R2, R3 = H, Me, or Et) were prepared either from the oximes IIa of II or from I with other R2 and R3. Thus, 13 g. Na was added in 90 min. to 19 g. IIa (R = Me) in 200 ml. BuOH at 100°, the mixture refluxed 20 min. and worked up to give, after extraction with 2N MeSO3H, 11.5 g. I (R1 = Me, R2 = R3 = H) (III), m. 96° (MeCN). The following I (R2 = R3 = H) were similarly prepared (R1, m.p., and (or) m.p. of salts given): H, 123° (hexane-C6H6 7:3), oxalate 194° (Me2CO); Et, 90° (heptane), fumarate 200° (EtOH); and Ph-CH2, methanesulfonate 205° (EtOH). IIa (R = Me), m. 196°, IIa (R = PhCH2), m. 202°, IIa (R = H), m. 168°, and IIa (R = Et), m. 207°, were prepared from the corresponding II, m. 104°, 147° (Ger. 1,142,870), 141°, and 120°, resp. II (R = H) was prepared from 10-methoxydibenz[b,f]azepine (IV), m. 125° (Swiss 375,721), and II (R = Et) from 5-ethyl-10-methoxydibenz-[b,f]azepine, m. 180°, prepared from IV. I (R1 = R2 = R3 = Me) (V), 6.3 g., m. 65-6° (aqueous EtOH), was prepared from 6.7 g. III in 360 ml. EtOH by reaction with 40 g. 30% aqueous CH2O and H in the presence of 18 g. Raney Ni. To prepare I (R1 = R2 = Me, R3 = H) (VI), 2.25 g. III was heated 2 hrs. with 14.8 g. HCO2Et in an autoclave at 80° to give 2 g. I (R1 = Me, R2 = HCO, R3 = H) (VII), m. 142°, which was added in portions to 1.1 g. LiAlH4 in 180 ml. Et2O; the mixture was refluxed 5 hrs. and worked up to give 1.9 g. VI.HCl, m. 238-40°. The following I were similarly prepared: I (R1 = Me, R2 = Et, R3 = H) (VIII), fumarate m. 135-8° (EtOH), methanesulfonate m. 196°, from I (R1 = Me, R2 = Ac, R3 = H), m. 187°; I (R1 = Me, R2 = R3 = Et), m. 70° (petr. ether), from oily I (R1 = Me, R2 = Et, R3 = Ac), made from VIII; I (R1 = R2 = Me, R3 = Et), maleate m. 135° (AcOEt), from oily I (R1 = Me, R2 = HCO, R3 = Et), made from VIII. V was also prepared from VI with Me2SO4, and by LiAlH4-reduction of I (R1

= R2 = Me, R3 = EtO2C), b0.1 172-5°, made from VI. VI was also prepared with KOH from I (R1 = R2 = Me, R3 = CN), m. 85-7°; with KOH from I (R1 = R2 = Me, R3 = HCO), m. 95°, made from VII with Me2SO4; and with Na from I (R1 = R2 = Me, R3 = p-MeC6H4SO2), m. 182-3°, prepared from I (R1 = Me, R2 = H, R3 = p-MeC6H4SO2), m. 158°, which was made from III. The title compds., especially VI, act on the central nervous system as antidepressants, analgesics, anticonvulsants, and tranquilizers. They are given in doses of 5-50 mg./kg. animal weight, or 10-250 mg. daily to adult humans.

IT 4698-11-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



L62 ANSWER 42 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1967:482124 CAPLUS
DOCUMENT NUMBER: 67:82124
ORIGINAL REFERENCE NO.: 67:15483a,15486a
TITLE: Azepine derivatives
INVENTOR(S): Geigy, J. R., A.-G.
SOURCE: Neth. Appl., 14 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| NL 6609781 | | 19670116 | NL 1966-9781 | 19660712 |
| CH 454873 | | | CH | |
| CH 457446 | | | CH | |
| DE 1695082 | | | DE | |
| FR 1489912 | | | FR | |
| FR 6398 | | | FR | |
| GB 1099749 | | | GB | |
| PRIORITY APPLN. INFO.: | | | CH | 19650713 |
| | | | CH | 19650726 |

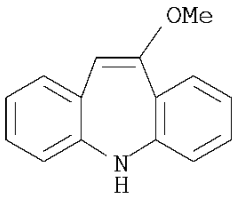
OTHER SOURCE(S): MARPAT 67:82124
GI For diagram(s), see printed CA Issue.
AB The title compds. (I) are useful as antidepressants. Thus, a solution of 5,10-dimethyl-5H-dibenz[b,f]azepine in 375 cc. CCl4 was mixed with 30.5 g. N-bromosuccinimide (NBS) and heated at 70° with 2 lamps (200 w.) 3-5 min. to give the 10-BrCH2 derivative (II), m. 109-11°. II (15 g.) in 50 cc. dry C6H6 at 0-5° was mixed with 10 g. Me2NH in 100 cc. C6H6, and then stirred 1 hr. at 40-50° to give I (R1 = R3 = R4 = Me, R2 = H) (III).HCl m. 225-8° (EtOH); III b0.01 140-4°. Similarly prepared were the following I (R1 = Me, R2 = H) (R3, R4, b.p./mm., and m.p. of the HCl salt given): Me, H, 147-9°/0.05, 175-7°; Et, Et, 147-50°/0.04, fumarate 148-9°; [(NR3R4 =) 4-(2-hydroxyethyl)piperazin-1-yl, (IIa), -, 214-7°; [(NR3R4 =) 4-methylpiperazino], -, 224-9°; [(NR31 =) 1-(2-acetoxyethyl)piperazinyl], -, -. 10-Methoxy-5H-dibenz[b,f]azepine, m. 124°, gave via 5-Et derivative, m. 186-8°, 5-ethyl-5H-dibenz[b,f]azepin-10(11H)-one, m. 126-8°, and further the 5-ethyl-10-methyl-10,11-dihydro-5H-dibenz[b,f]azepine, which by treatment with NBS gave the 5-Et analog (IV) of II. From IV the following I (R1 = Et, R2 = H) were prepared: R3 = R4 = Me, b0.04 150-2° (HCl salt m. 247-9°); and (NR3R4 =) pyrrolidino, m. 92° (HCl salt m. 170-2°). III was also prepared by treating 10-(dimethylaminomethyl)-5H-dibenz[b,f]azepine in PhMe with NaNH2, and then with MeI. Other I (R1 = Me) prepared were (R2, NR3R4, b.p./mm., and HCl salt m.p. given): H, pyrrolidino, 160-4°/0.01, 130-2°; H, piperidino, 172-5°/0.01, 171-4°; H, Me2N, 145-9°/0.04, 156-60°; Me, pyrrolidino, 168-7°/0.03, 193-6°. The mixture of 17 g. Et piperazine-1-carboxylate in 100 cc. C6H6 and 15 g. II in 75 cc. C6H6 was refluxed 1 hr.; then the crude product was refluxed 8 hrs. with 18 g. KOH in 72 cc. EtOH to give I [R1 = Me, R2 = H, (NR3R4 =) piperazino].2HCl (V.2HCl), m. 814-19°. V (15 g.) in 150 cc. PhMe and 70 g. K2CO3 was boiled 4 hrs. with 12.5 g. HOCH2CH2Br, to give IIa. IIa may also be obtained from V and ethylene

oxide in EtOH.

IT 4698-11-7P
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(Azepine derivatives)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



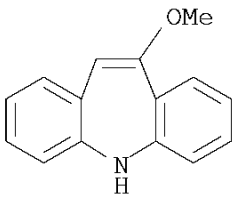
L62 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1966:490602 CAPLUS
DOCUMENT NUMBER: 65:90602
ORIGINAL REFERENCE NO.: 65:16952c-e
TITLE: New (10-substituted) azepine derivatives
INVENTOR(S): Schindler, Walter; Blattner, Hans
PATENT ASSIGNEE(S): J. R. Geigy A.-G
SOURCE: 4 pp.; Addn. to Swiss 375,721 (see Ger. 1,142,870, CA 59, 11454e)
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CH 405319 | | 19660715 | CH 1960-1575164 | 19600805 |
| PRIORITY APPLN. INFO.: | | | CH | 19600805 |

AB Addition of Br 407 in C HCl3 250 to 5-acetyl-5H-dibenz[b,f]azepine 600 in CHCl3 1200 parts gave 5-acetyl-10,11-dibromo-10,11-dihydro-5H-dibenz[b,f]azepine (I), m. 136-8°. From I 125 and NaOMe 135 in MeOH 1000 parts refluxed 16 hrs. was obtained 10-me thoxy-5H-dibenz[b,f]azepine (II), m. 124°. A mixture of II268 and PhCH2Cl 192 in C6H6 1340 was stirred at 50-5° while adding NaNH2 62 parts in PhMe to give 5-benzyl-10-methoxydibenz[b,f]azepine (III), m. 121°. Refluxing III 318 in 2N HCl 1000 parts 1 hr. gave 5-benzyl-5H-dibenz[b,f]azepin-10 (11H)-one (IV), m. 152°. To the Grignard reagent from P (94.2)and Mg (14.7)in Et2O 180 at 0° was added IV 90 in C6H6 160 parts. After 36 hrs. at room temperature there was obtained an oily hydroxy compound that was refluxed 30 min. with 2N HCl 245 parts to obtain 5-benzyl-10-phenyl-5H-dibenz[b,f]azepine (V). Hydrogenation of V 51 in EtOH with Na 135 gave 5-benzyl-10-phenyl-10,11-dihydro 5H-dibenz[b,f]azepine as an oil that was refluxed with 45% HBr 190 parts 2 hrs. to obtain 10-phenyl-10,11-dihydro-5H-dibenz[b,f]azepine (VI), m. 154° (EtOH). VI is useful as an antiallergenic and psychotherapeutic agent

IT 4698-11-7P, 5H-Dibenz[b,f]azepine, 10-methoxy-
RL: PREP (Preparation)
(preparation of)

RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

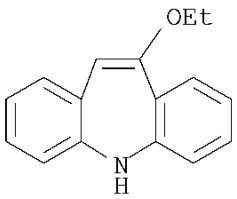



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

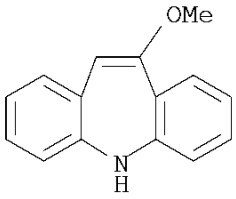
L62 ANSWER 44 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1966:19237 CAPLUS
DOCUMENT NUMBER: 64:19237
ORIGINAL REFERENCE NO.: 64:3506g-h,3507a-c
TITLE: New azepine derivatives
INVENTOR(S): Schindler, Walter; Blattner, Hans
PATENT ASSIGNEE(S): J. R. Geigy A.-G.
SOURCE: 2 pp.; Addn. to Swiss 383,977 (See Brit. 943,277, CA 61, 1815e)
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CH 392515 | | 19651015 | CH 1964-6915 | 19640527 |
| PRIORITY APPLN. INFO.: | | | CH | 19640527 |

GI For diagram(s), see printed CA Issue.
AB When I, where X is a hydrogen, halogen, or low mol. weight alkyl or alkoxy group, and Y hydrogen, halogen, or low mol. weight-alkyl group, and Z a low mol. weight alkyl or alkoxy group is reacted with at least twice the molar amount of an alkali metal compound ROM (II) of low mol. weight alkanol or alkenol content, where R is a low mol. weight alkyl or alkenyl group, III is obtained. R, X, Y have the above meaning for III. Reacting IV with Br yields I. Compds. of III have enol ether characteristics. Thus, to a solution of 600 g. 5-acetyl-5H-dibenz[b,f]azepine in 1.2 l. CHCl3 (V) are added with mixing at 5-10° 407 g. Br in 250 ml. of V dropwise. The decolorized solution is cooled to -10° with stirring to give 5-acetyl-10,11-dibromo-10,11-dihydro-5H-dibenz[b,f]azepine (VI), m. 136-8°. To a solution of 135 g. NaOMe in 1 l. distilled MeOH are added 125 g. VI and the mixture refluxed with agitation for 16 hrs., 500 ml. MeOH distilled, and the reaction mixture refluxed another 24 hrs. After cooling, 500 ml. H2O is slowly added to give 10-methoxy-5H-dibenz[b,f]azepine, m. 124° (absolute EtOH). In an analogous manner 10-ethoxy-5H-dibenz[b,f]azepine, m. 132-3°, 10-butoxy-5H-dibenz[b,f]azepine, m. 113-14°, 10-methoxy-3,7-dichloro-5H-dibenz[b,f]azepine, m. 182-3°, were prepared
IT 4614-46-4P, 5H-Dibenz[b,f]azepine, 10-ethoxy-
4698-11-7P, 5H-Dibenz[b,f]azepine, 10-methoxy-
RL: PREP (Preparation)
(preparation of)
RN 4614-46-4 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-ethoxy- (CA INDEX NAME)



RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



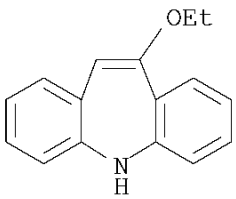
L62 ANSWER 45 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1964:432358 CAPLUS
DOCUMENT NUMBER: 61:32358
ORIGINAL REFERENCE NO.: 61:5620d-g
TITLE: 10-Alkoxy-5H-dibenzo[b,f]azepine and 5H-dibenzo[b,f]-azepin-10(11H)-one derivatives
INVENTOR(S): Schindler, Walter; Blattner, Hans
PATENT ASSIGNEE(S): J. R. Geigy A.-G.
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 1161279 | | 19640116 | DE 1960-G30937 | 19601115 |
| PRIORITY APPLN. INFO.: | | | CH | 19591116 |

AB The title compds. (I) were prepared by N-alkylation of 10-alkoxy-5H-di-benzo[b,f]azepines. II were prepared by O-dealkylation of I. Thus, 10-ethoxy-5H-dibenz[b,f]azepine 12, m. 132-3°, in C6H6 50 (volume) was treated with a C6H6 solution of Me2N(CH2)3Cl (from 9 parts HCl salt), NaNH2 2.2 parts suspended in PhMe added at 50-60° with stirring, the mixture refluxed 20 hrs. and cooled, H2O added, the mixture extracted 5 times with dilute HOAc, the extract basified and extracted with Et2O, the ethereal extract dried (Na2SO4) and evaporated, and the residue distilled to give 80% 5-(λ-dimethylaminopropyl)-10-ethoxy-5H-dibenz[b,f]azepine, b0.001 160-1°; HCl salt m. 166-9°. Similarly, the following II were prepared (R, X, Y, Z, R1, R2, and m.p. or b.p. given): Me, H, H,(CH2)2, Me, Me (III), m. 90°; Me, H, H, (CH2)3, (NR1R2= )piperidino, b0.01 191-3°; Me, 3-Cl, 7-Cl, (CH2)3, Me, Me, m. 96°; Bu, H, H, (CH2)3, Me, Me, b0.003 173°; Me, H, H, (CH2)3, Me, Me, b0.001 170°; Me, H, H, CH2CH2, (NR1R2= )4-methylpiperazino, b0.03.03 195°, and Me, H, H, (CH2)2, (NR1R2= ) 1-methyl piperid-2-yl, b0.01 196-200°. III 10 in 2N HCl 80 was refluxed 1 hr., cooled, basified with concentrated NH4OH, and extracted with Et2O, the extract dried and evaporated, and the residue distilled to afford 72% 5-(β-dimethylaminoethyl)-5H-dibenz[b,f]azepin-10(11H)-one, b0.01 174-5°, m. 80°. Similarly, the following II were synthesized [X, Y, Z, R1, R2, and m.p. and (or) b.p. given]:H, H, (CH2)3, Me, Me, b0.05 174°; m. 87°; H, H, (CH2)2, (NR1R2= ) morpholino, b0.01 202°; H, H, (CH2)3, (NR1R2) = piperidino, b0.005 188°; H, H, (CH2)3, (NR1R2= ) 4-methylpiperazino, m. 122°; 3-Cl, 7-Cl, (CH2)3, Me, Me, m. 87°; H, H, CH2CHMeCH2, Me, Me, b0.15 178°, and H, H, (CH2)2, (NR1R2= ) 1-methylpiperidino, b.010 202-5°. I and II were antihistaminics, anticholinergic agents, sedatives, and reserpine antagonists. These compds. also potentiate the action of narcotics.

IT 4614-46-4
RL: PRP (Properties)
(10-Alkoxy-5H-dibenzo[b,f]azepine and 5H-dibenzo[b,f]-azepin-10(11H)-one derivatives)

RN 4614-46-4 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-ethoxy- (CA INDEX NAME)




OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L62 ANSWER 46 OF 46 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1964:411219 CAPLUS
DOCUMENT NUMBER: 61:11219
ORIGINAL REFERENCE NO.: 61:1815e-g
TITLE: Dibenz[b,f]azepines
PATENT ASSIGNEE(S): J. R. Geigy A.-G.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

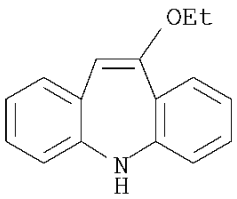
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| GB 943277 | | 19631204 | GB 1960-39270 | 19601116 |
| CH 376113 | | | CH | |
| CH 377825 | | | CH | |
| CH 383977 | | | CH | |
| DE 1174785 | | | DE | |
| US 3144440 | | 1964 | US | |
| US 3144441 | | 19640811 | US 1962-223300 | 19620911 |
| US 3144442 | | 19640811 | US 1962-223301 | 19620911 |

PRIORITY APPLN. INFO.: CH 19591116

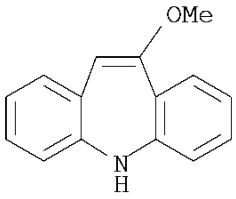
AB New dibenz[b,f]azepines are suitable as intermediate products for the synthesis of antiallergic and psychotherapeutic products. Thus, 407 parts Br in 250 parts CHCl3 was dropped into a solution of 600 parts 5-acetyl-5H-dibenzo[b,f]azepine in 1200 parts CHCl3 at 5-10°, while stirring. The decolorized solution was stirred and cooled to -10° until crystallization of the 5-acetyl-10,11-dibromo-10,11dihydro-5H-dibenzo[b,f]azepine took place. It was filtered off by suction and dried in vacuo, m. 136-8°. Also prepared were 5-acetyl-10-bromo-5H-dibenzo[b,f]azepine, m. 109-10°; 10-ethoxy-5H-dibenzo[b,f]azepine, m. 132-3°; 10-methoxy-5H-debenzo[b,f]azepine, m. 124°; 10-butoxy-5H-dibenzo[b,f]azepine, m. 113-14°; 10-methoxy-2,7-dichloro-5H-dibenzo[b,f]azepine, m. 182-3°; 5H-dibenzo[b,f]azepin-10(11H)-one, m. 145-6°; 3,7dichloro-5H-dibenzo[b,f]azepin-10(11H)-one, m. 318-20°; 3,7-di-methyl-5H-dibenzo[b,f]azepin-10(11H)-one; and 10-methoxy-5H-dibenz[b,f]azepine, m. 124°.

IT 4614-46-4P, 5H-Dibenz[b,f]azepine, 10-ethoxy-
4698-11-7P, 5H-Dibenz[b,f]azepine, 10-methoxy-
RL: PREP (Preparation)
(preparation of)

RN 4614-46-4 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-ethoxy- (CA INDEX NAME)



RN 4698-11-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)




OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)